

IN THE MATTER OF an Australian
Application corresponding to
PCT Application PCT/EP97/05319

I, Dethard LAMPE Dipl.-Chem., PhD CChem MRSC,
c/o Europa House, Marsham Way, Gerrards Cross, Buckinghamshire,
England, do solemnly and sincerely declare that I am conversant
with the English and German languages and am a competent
translator thereof, and that to the best of my knowledge and
belief the following is a true and correct translation of the
PCT Application filed under No. PCT/EP97/05319.

Date: 29 March 1999


D. LAMPE

For and on behalf of RWS Group plc

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<p>(51) International patent classification⁶ : C07D 251/18, A01N 43/68, C07D 251/50, 251/52, 409/12, 403/12</p>	A1	<p>(11) International publication number: WO 98/15538 [stamp] (43) International publication date: 16 April 1998 (16.04.98)</p>
<p>(21) International application number: PCT/EP97/05319 (22) International filing date: 29 September 1997 (29.09.97) (30) Data relating to the priority: 196 41 694.9 10 October 1996 (10.10.96) DE (71) Applicants (for all designated States except US): BAYER AKTIENGESELLSCHAFT [DE/DE]; D-51368 Leverkusen (DE). NIHON BAYER AGROCHEM K.K. [JP/JP]; 10-8, Takanawa 4-chome, Minato-ku, Tokyo 108 (JP). (72) Inventors: and (75) Inventors/Applicants (US only): Hans-Jochem RIEBEL [DE/DE]; In der Beek 92, D-42113 Wuppertal (DE). Stefan LEHR [DE/DE]; Am Benthal 54, D-51381 Leverkusen (DE). Uwe STELZER [DE/DE]; Adolf- Kolping-Strasse 22a, D-51399 Burscheid (DE). Yukiyoishi WATANABE [JP/JP]; 2-8-24, Hanagaki-cho, Oyama-shi, Tochigi 323 (JP). Markus DOLLINGER [DE/DE]; Burscheider Strasse 154b, D-51381 Leverkusen (DE). Toshio GOTO [JP/JP]; 214-18, Koganei, Kokubunji-machi, Shimotsuga-gun, Tochigi 329-04 (JP).</p>		<p>(74) Joint Representative: BAYER AKTIENGESELLSCHAFT; D-51368 Leverkusen (DE). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ARIPO Patent (GH, KE, LS, MW, SD, SZ, UG, ZW), Eurasian Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European Patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published With the International Search Report. Before expiry of the period provided for amending the claims. Further publication will be made if such amendments are received.</p>

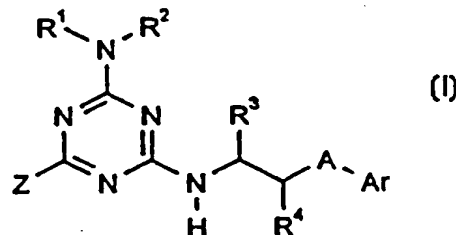
As printed

(54) Title: SUBSTITUTED 2,4-DIAMINO-1,3,5-TRIAZINE AS HERBICIDE

(54) Bezeichnung: SUBSTITUIERTE 2,4-DIAMINO-1,3,5-TRIAZINE ALS HERBIZIDE

(57) Abstract

The invention relates to a novel substituted 2,4-diamino-1,3,5-triazine of the general formula (I), in which R¹ stands for hydrogen or for optionally substituting alkyl, R² stands for formyl or for optionally substituting alkylcarbonyl, alkoxy carbonyl, alkylsulfonyl, arylcarbonyl or arylsulfonyl respectively, R³ for optionally substituting alkyl or cycloalkyl respectively, R⁴ for hydrogen or alkyl, A for oxygen or methylene, Ar for optionally substituting aryl or heterocyclyl respectively and Z for hydrogen, hydroxy, cyano, nitro, halogen or for optionally substituted alkyl, alkoxy, alkylcarbonyl, alkoxy carbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkenyl or alkynyl respectively (in which three previously known combinations are excluded). The disclosure also relates to a method and to new intermediate products for producing the new compounds and their use as herbicides.



(57) Zusammenfassung

Die Erfindung betrifft neue substituierte 2,4-Diamino-1,3,5-triazine der allgemeinen Formel (I), in welcher R¹ für Wasserstoff oder gegebenenfalls substituiertes Alkyl steht, R² für Formyl oder für jeweils gegebenenfalls substituiertes Alkylcarbonyl, Alkoxy carbonyl, Alkylsulfonyl, Arylcarbonyl oder Arylsulfonyl steht, R³ für jeweils gegebenenfalls substituiertes Alkyl oder Cycloalkyl steht, R⁴ für Wasserstoff oder Alkyl steht, A für Sauerstoff oder Methylene steht, Ar für jeweils gegebenenfalls substituiertes Aryl oder Heterocyclyl steht, und Z für Wasserstoff, Hydroxy, Cyano, Nitro, Halogen oder für jeweils gegebenenfalls substituiertes Alkyl, Alkoxy, Alkylcarbonyl, Alkoxy carbonyl, Alkylthio, Alkylsulfinyl, Alkylsulfonyl, Alkenyl oder Alkynyl steht, (wobei drei vorbekannte Verbindungen ausgeschlossen sind), Verfahren und neue Zwischenprodukte zur Herstellung der neuen Verbindungen und deren Verwendung als Herbizide.

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SUBSTITUTED 2,4-DIAMINO-1,3,5-TRIAZINES AS HERBICIDES

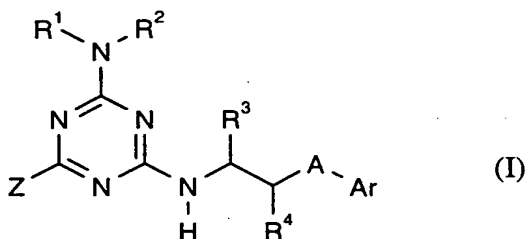
The invention relates to novel substituted 2,4-diamino-1,3,5-triazines, to processes and to novel intermediates for their preparation and to their use as herbicides.

5

A number of substituted 2,4-diamino-triazines is already known from the (patent) literature (cf. US 3816419, US 3932167, EP 191496, EP 273328, EP 411153 / WO 90/09378, WO 97/00254, WO 97/08156). However, these compounds have hitherto not attained any particular importance.

10

This invention, accordingly, provides the novel substituted 2,4-diamino-1,3,5-triazines of the general formula (I)



15 in which

R^1 represents hydrogen or optionally substituted alkyl,

20 R^2 represents formyl or represents in each case optionally substituted alkylcarbonyl, alkoxy carbonyl, alkylsulphonyl, arylcarbonyl or arylsulphonyl,

R^3 represents in each case optionally substituted alkyl or cycloalkyl,

25 R^4 represents hydrogen or alkyl,

A represents oxygen or methylene,

Ar represents in each case optionally substituted aryl or heterocyclyl, and

Z represents hydrogen, hydroxyl, cyano, nitrogen, halogen or represents in each case optionally substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl, alkenyl or alkynyl,

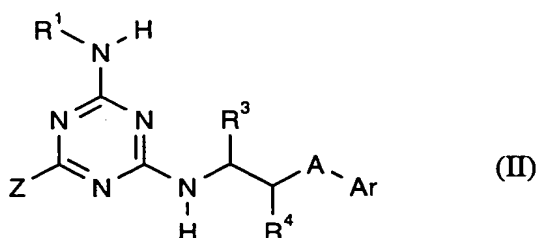
5 but excluding the compounds

2-formylamino-4-[1-methyl-3-(3-ethoxy-phenyl)-propylamino]-6-(1-fluoro-1-methyl-ethyl)-1,3,5-triazine, 2-formylamino-4-[1-methyl-3-(2-cyano-phenyl)-propylamino]-6-(1,1,2,2-tetrafluoro-ethyl)-1,3,5-triazine and 2-formylamino-4-[1-methyl-3-(4-iodo-phenyl)-propylamino]-6-(2-chloro-ethyl)-1,3,5-triazine.

(which have already been disclosed in WO 97/08156).

15 The novel 2,4-diamino-1,3,5-triazines of the general formula (I) are obtained when

(a) 2,4-diamino-1,3,5-triazines of the general formula (II)



20

in which

R¹, R³, R⁴, A, Ar and Z are each as defined above

are reacted with acylating or sulphonylating agents of the general formula (III)

25



in which

30 R² is as defined above and

Y represents halogen, alkoxy or $-O-R^2$,

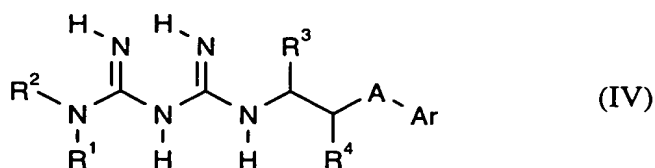
if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

5

or when

(b) to prepare compounds of the formula (I), except for those where $Z=NO_2$, substituted biguanidines of the general formula (IV)

10



in which

15 R^1 , R^2 , R^3 , R^4 , A and Ar are each as defined above

- and/or acid adducts of compounds of the general formula (IV) -
are reacted with alkoxycarbonyl compounds of the general formula (V)



20 in which

Z, with the exception of nitro, is as defined above and

R' represents alkyl,

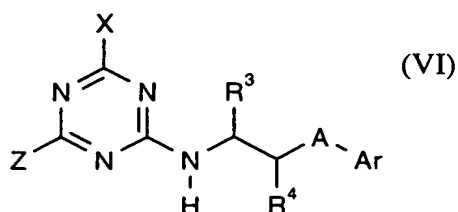
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if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or when

30

(c) substituted triazines of the general formula (VI)



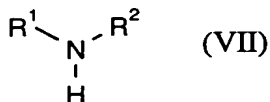
in which

5 R^3 , R^4 , A, Ar and Z are each as defined above and

X represents halogen or alkoxy

are reacted with nitrogen compounds of the general formula (VII)

10



in which

15 R^1 and R^2 are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

20 and, if appropriate, further conversions within the scope of the above definition of substituents are carried out by customary methods on the compounds of the general formula (I) obtained by the processes described under (a), (b) or (c).

25 The novel substituted 2,4-diamino-1,3,5-triazines of the general formula (I) have strong and selective herbicidal activity.

The compounds of the general formula (I) according to the invention contain at least one asymmetrically substituted carbon atom and can therefore be present in different enantiomeric (R- and S-configured forms) or diastereomeric forms. The invention relates both to the different possible individual enantiomeric or stereoisomeric forms
5 of the compounds of the general formula (I), and to the mixtures of these isomeric compounds.

In the definitions, the hydrocarbon chains, such as alkyl - also in combination with heteroatoms, such as in alkoxy or alkylthio - are in each case straight-chain or
10 branched.

Halogen generally represents fluorine, chlorine, bromine or iodine, preferably represents fluorine, chlorine or bromine, and in particular represents fluorine or
15 chlorine.

The invention preferably provides compounds of the formula (I) in which

R¹ represents hydrogen or represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms,

20 R² represents formyl, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkylcarbonyl, alkoxycarbonyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl-, halogeno-C₁-C₄-alkyl-, C₁-C₄-alkoxy-, halogeno-C₁-C₄-alkoxy- or C₁-C₄-alkoxy-carbonyl-substituted
25 phenylcarbonyl, naphthylcarbonyl, phenylsulphonyl or naphthylsulphonyl,

R³ represents optionally hydroxyl-, cyano-, halogen- or C₁-C₄-alkoxy- substituted alkyl having 1 to 6 carbon atoms or represents optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms,

30

R⁴ represents hydrogen or alkyl having 1 to 4 carbon atoms,

A represents oxygen or methylene,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

5 where the possible heterocyclyl radicals are preferably selected from the group below:

10 furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

and where the possible substituents are in each case preferably selected from the group below:

15 hydroxyl, cyano, nitro, halogen, in each case optionally hydroxyl-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-,
20 halogen-, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy- or C₁-C₄-halogenoalkoxy-substituted phenyl or phenoxy, and also in each case optionally halogen-substituted methylenedioxy or ethylenedioxy,

and

25

Z represents hydrogen, represents halogen, represents in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C₁-C₄-alkoxy-, C₁-C₄-alkyl-carbonyl-, C₁-C₄-alkoxy-carbonyl-, C₁-C₄-alkylthio-, C₁-C₄-alkylsulphinyl- or C₁-C₄-alkylsulphonyl-substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl,
30 alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents in each case optionally halogen- or

C₁-C₄-alkoxy-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms,

but excluding the compounds

5

2-formylamino-4-[1-methyl-3-(3-ethoxy-phenyl)-propylamino]-6-(1-fluoro-1-methyl-ethyl)-1,3,5-triazine, 2-formylamino-4-[1-methyl-3-(2-cyano-phenyl)-propylamino]-6-(1,1,2,2-tetrafluoro-ethyl)-1,3,5-triazine and 2-formylamino-4-[1-methyl-3-(4-iodo-phenyl)-propylamino]-6-(2-chloro-ethyl)-1,3,5-triazine.

10

(which have already been disclosed in WO 97/08156).

From among the compounds of the formula (I) defined above as preferred
15 ("preferably"), particular emphasis is given to the following groups:

(A) the compounds of the formula (I) in which A, R¹, R², R³, R⁴ and Z are each as defined above and Ar represents in each case optionally substituted phenyl or naphthyl, the possible substituents being as defined above;
20

(B) the compounds of the formula (I) in which A, R¹, R², R³, R⁴ and Z are each as defined above and Ar represents in each case optionally substituted heterocyclyl, the possible heterocyclyl groupings and the possible substituents being as defined above.

25 The invention in particular relates to compounds of the formula (I) in which

R¹ represents hydrogen or represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl,
30

R² represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methoxy- or ethoxy-substituted acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, n-, i-, s- or t-butylsulphonyl, or represents in each case

optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy-, trifluoromethoxy-, methoxycarbonyl- or ethoxy-carbonyl-substituted phenylcarbonyl or phenylsulphonyl,

R^3 represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl or represents in each case optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl,

R^4 represents hydrogen or methyl,

A represents oxygen or methylene,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

where the possible heterocyclyl radicals are preferably selected from the group below:

furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

and where the possible substituents are in each case preferably selected from the group below:

hydroxyl, cyano, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl- cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, in each case optionally fluorine- or chlorine-substituted acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-

propoxycarbonyl, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-,
5 trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and also in each case optionally fluorine- or chlorine-substituted methylenedioxy or ethylenedioxy,

10 and

Z represents hydrogen, fluorine, chlorine, bromine, represents in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, methylthio-ethylthio-, n- or i-
15 propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl,
20 ethylsulphonyl, n- or i-propylsulphonyl, or represents in each case optionally fluorine-, chlorine-, bromine-, methoxy- or ethoxy-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl.

From among the compounds of the formula (I) defined above as being particularly
25 preferred, particular emphasis is given to the following groups:

(AA) the compounds of the formula (I) in which A, R¹, R², R³, R⁴ and Z are each as defined above and Ar represents in each case optionally substituted phenyl or naphthyl, the possible substituents being as defined above, with the proviso that the
30 substituents of the carbon atom to which R³ is attached are arranged in the R configuration;

(BB) the compounds of the formula (I) in which A, R¹, R², R³, R⁴ and Z are each as defined above and Ar represents in each case optionally substituted phenyl or naphthyl, the possible substituents being as defined above, with the proviso that the substituents of the carbon atom to which R³ is attached are arranged in the S configuration;

(CC) the compounds of the formula (I) in which A, R¹, R², R³, R⁴ and Z are each as defined above and Ar represents in each case optionally substituted furyl, thienyl, pyridinyl or pyrimidinyl, the possible substituents being as defined above, with the proviso that these compounds are present as racemic mixtures;

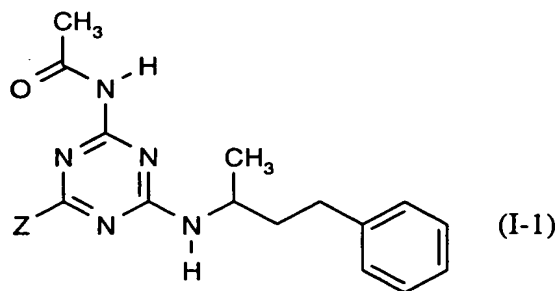
(DD) the compounds of the formula (I) in which A, R¹, R², R³, R⁴ and Z are each as defined above and Ar represents in each case optionally substituted furyl, thienyl, pyridinyl or pyrimidinyl, the possible substituents being as defined above, with the proviso that the substituents of the carbon atom to which R³ is attached are arranged in the R configuration;

(EE) the compounds of the formula (I), in which A, R¹, R², R³, R⁴ and Z are each as defined above and Ar represents in each case optionally substituted furyl, thienyl, pyridinyl or pyrimidinyl, the possible substituents being as defined above, with the proviso that the substituents of the carbon atom to which R³ is attached are arranged in the S configuration;

The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and also, correspondingly, to the starting materials or intermediates required in each case for the preparation. These radical definitions can be combined with each other at will, i.e. including combinations between the abovementioned preferred ranges.

Examples of the compounds of the formula (I) according to the invention are listed in the groups below. The general formulae here represent in each case the R enantiomers, the S enantiomers and the racemates.

5 Group 1



Here, Z has, for example, the meanings given below:

10

Hydrogen, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, chlorofluoromethyl, chlorobromomethyl, chlorodifluoromethyl, fluorodichloromethyl, bromodifluoromethyl, trichloromethyl, 1-fluoro-ethyl, 2-fluoro-ethyl, 1-chloro-ethyl,

15

2-chloro-ethyl, 1-chloro-1-fluoro-ethyl, 1-fluoro-propyl, 2-fluoro-propyl, 3-fluoro-propyl, 1-fluoro-1-methyl-ethyl, 2-fluoro-1-methyl-ethyl, 1-chloro-1-methyl-ethyl, 1-fluoro-1-methyl-propyl, 1-chloro-1-ethyl-propyl, 1-fluoro-1-ethyl-propyl, 1-chloro-1-ethyl-propyl, 1-fluoro-2-methyl-propyl, 1-chloro-2-methyl-propyl, 1-chloro-propyl, 2-chloro-propyl, 3-chloro-propyl, 1-chloro-1-methyl-ethyl, 2-chloro-1-methyl-ethyl,

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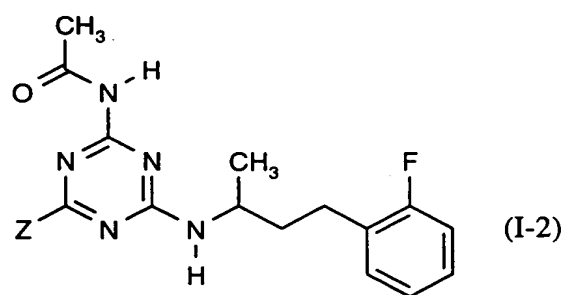
1,1-difluoro-ethyl, 1,2-difluoro-ethyl, 1,1-dichloro-ethyl, 2,2,2-trifluoro-ethyl, 1,2,2,2-tetrafluoro-ethyl, perfluoroethyl, 1,1-difluoro-propyl, 1,1-dichloro-propyl, perfluoropropyl, 1-fluoro-butyl, 1-chloro-butyl, perfluoropentyl, perfluorohexyl, 1-hydroxyl-ethyl, acetyl, 1,1-bis-acetyl-methyl, 1-acetyl-1-methoxycarbonyl-methyl, 1-acetyl-1-ethoxycarbonyl-methyl, methoxymethyl, 1,1-dimethoxy-methyl, 1-methoxy-

25

ethyl, 2-methoxy-ethyl, 1,1-dimethoxy-ethyl, ethoxymethyl, 1-ethoxyethyl, 2-ethoxy-ethyl, 2-methoxy-1-methyl-ethyl, 2-methoxy-1-ethyl-ethyl, 2-ethoxy-1-methyl-ethyl, 2-ethoxy-1-ethyl-ethyl, methylthiomethyl, ethylthiomethyl, 1-methylthio-ethyl, 2-

methylthioethyl, 1-ethylthio-ethyl, 2-ethylthioethyl, methylsulphinylmethyl,
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 ethylsulphinyl, methylsulphonyl, ethylsulphonyl, fluoromethoxy, difluoromethoxy,
 5 trifluoromethoxy, fluoroethoxy, difluoroethoxy, trifluoroethoxy, difluoromethylthio,
 trifluoromethylthio, vinyl, 1-chloro-vinyl, 2-chloro-vinyl, 1-fluoro-vinyl, 2-fluoro-
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 difluoro-vinyl, 2,2-dichloro-vinyl, 2,2-difluoro-vinyl, 2,2-dibromo-vinyl, 1-chloro-2-
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 10 allyl, 2-chloro-allyl, 3-chloro-allyl, 3,3-dichloro-allyl, 1-propenyl, isopropenyl, 1-
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 2-buten-1-yl, 2-buten-2-yl, 3-chloro-2-butenyl, 3-bromo-2-butenyl, 3,3,3-trifluoro-2-
 15 butenyl, ethinyl, 2-chloro-ethinyl, 2-bromo-ethinyl, 1-propinyl, 2-propinyl, 3,3,3-
 trifluoro-1-propinyl.

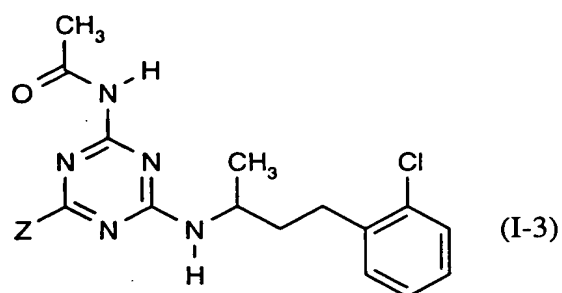
Group 2



20

Here, Z has, for example, the meanings given above in group 1.

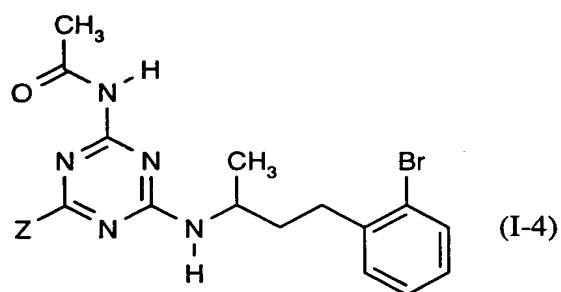
Group 3



Here, Z has, for example, the meanings given above in group 1.

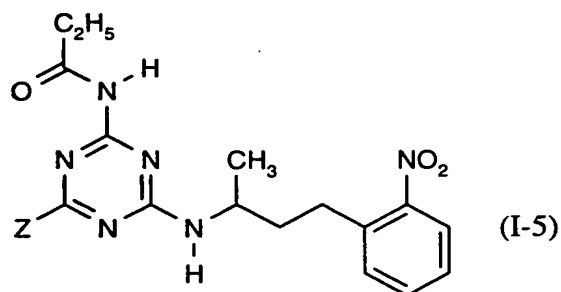
5

Group 4



10 Here, Z has, for example, the meanings given above in group 1.

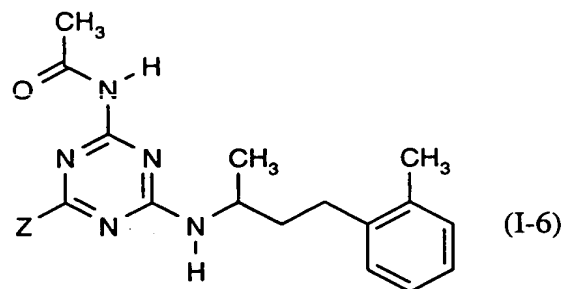
Group 5



15

Here, Z has, for example, the meanings given above in group 1.

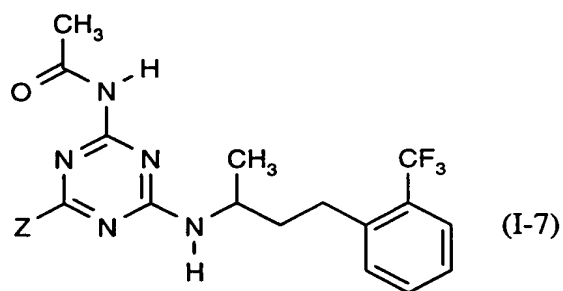
Group 6



5

Here, Z has, for example, the meanings given above in group 1.

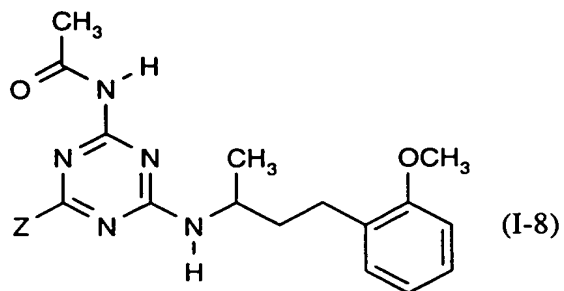
Group 7



10

Here, Z has, for example, the meanings given above in group 1.

Group 8

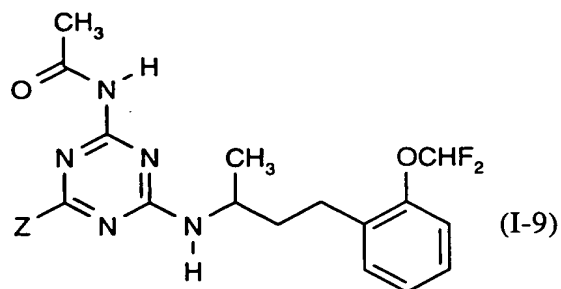


15

Here, Z has, for example, the meanings given above in group 1.

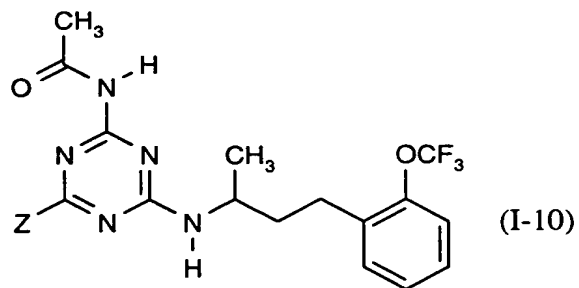
Group 9

5



Here, Z has, for example, the meanings given above in group 1.

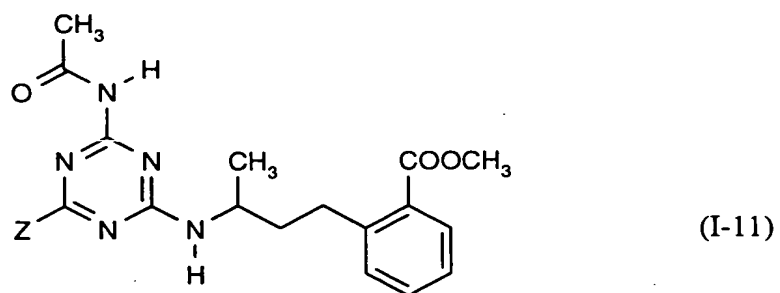
10 Group 10



Here, Z has, for example, the meanings given above in group 1.

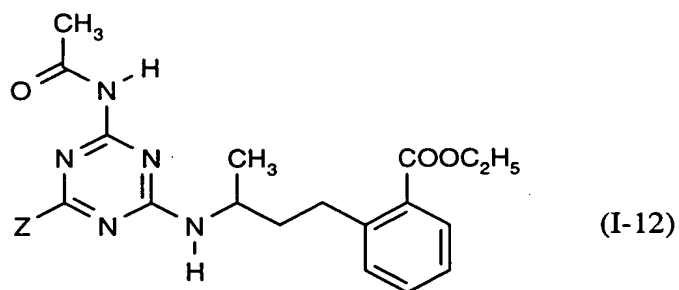
15

Group 11



5 Here, Z has, for example, the meanings given above in group 1.

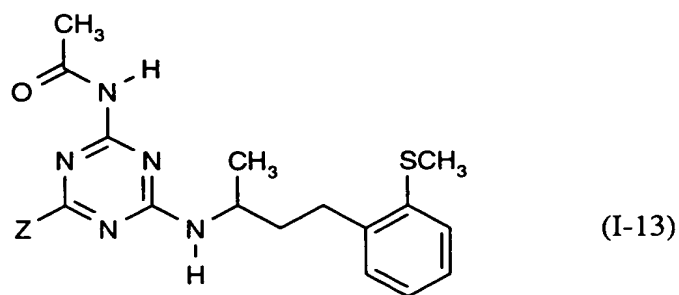
Group 12



10

Here, Z has, for example, the meanings given above in group 1.

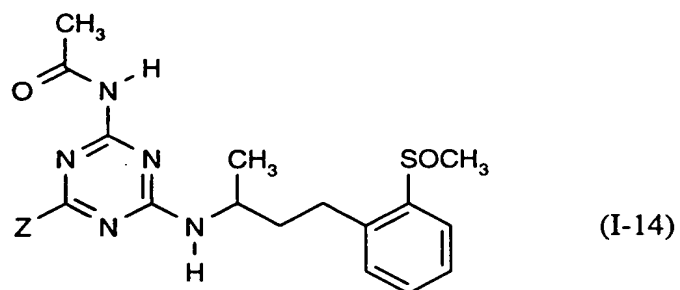
Group 13



15

Here, Z has, for example, the meanings given above in group 1.

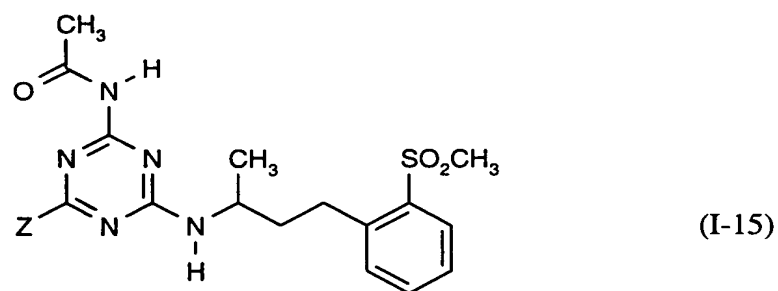
Group 14



Here, Z has, for example, the meanings given above in group 1.

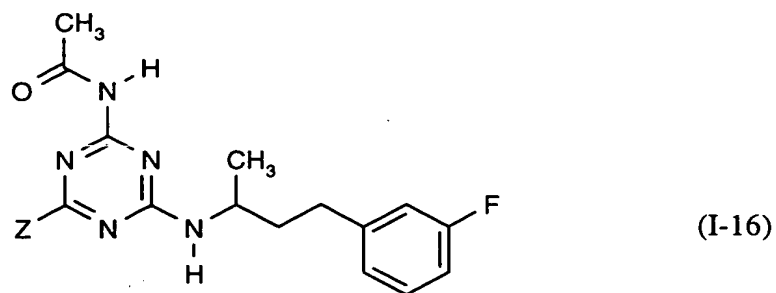
Group 15

10



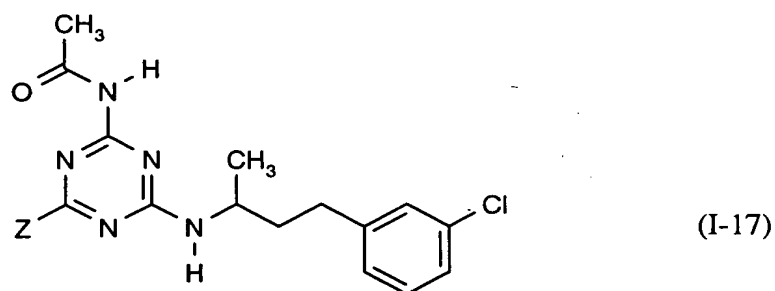
Here, Z has, for example, the meanings given above in group 1.

Group 16



5 Here, Z has, for example, the meanings given above in group 1.

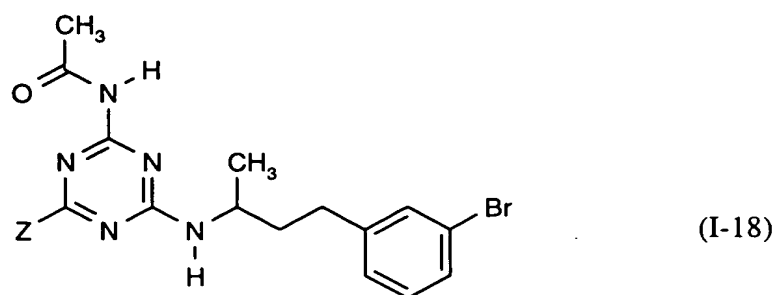
Group 17



10

Here, Z has, for example, the meanings given above in group 1.

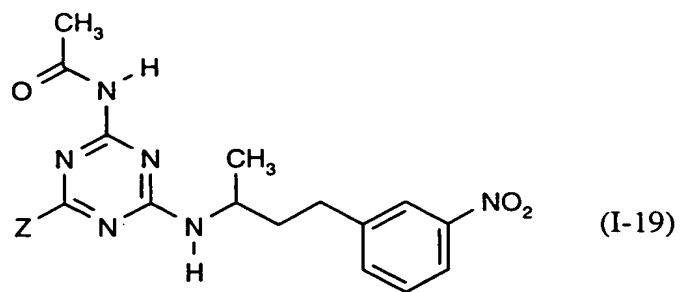
Group 18



15

Here, Z has, for example, the meanings given above in group 1.

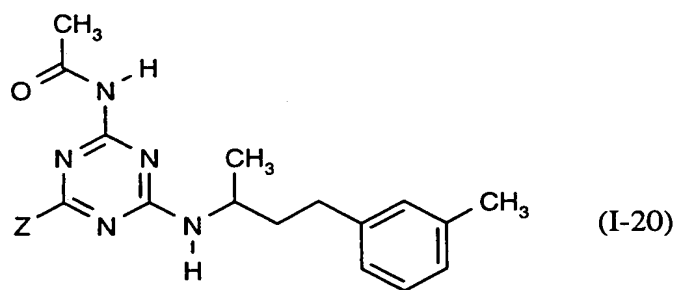
Group 19



5

Here, Z has, for example, the meanings given above in group 1.

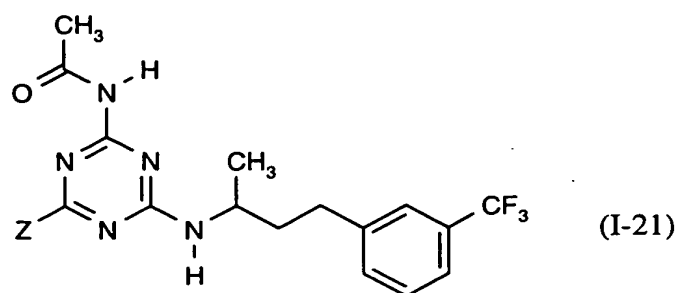
Group 20



10

Here, Z has, for example, the meanings given above in group 1.

Group 21

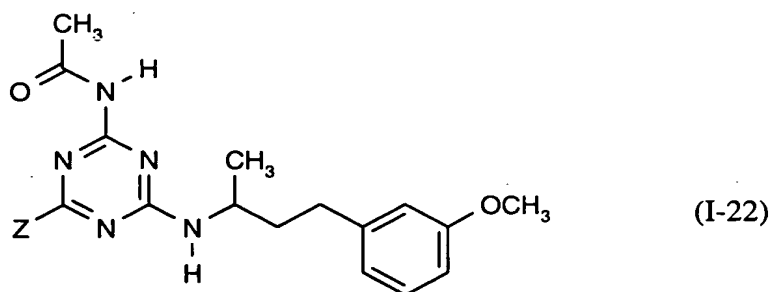


15

Here, Z has, for example, the meanings given above in group 1.

Group 22

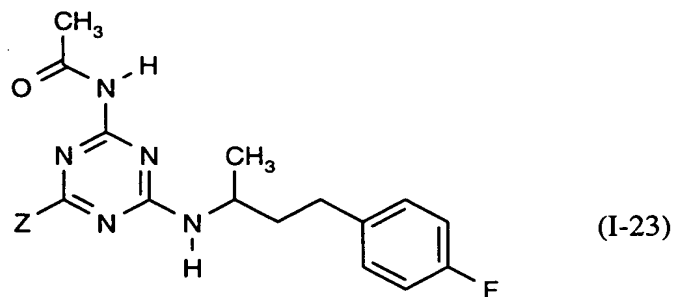
5



Here, Z has, for example, the meanings given above in group 1.

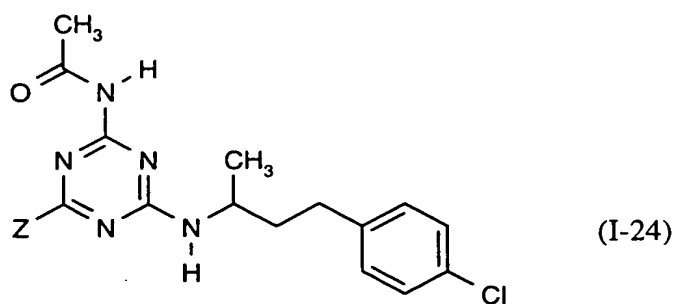
Group 23

10



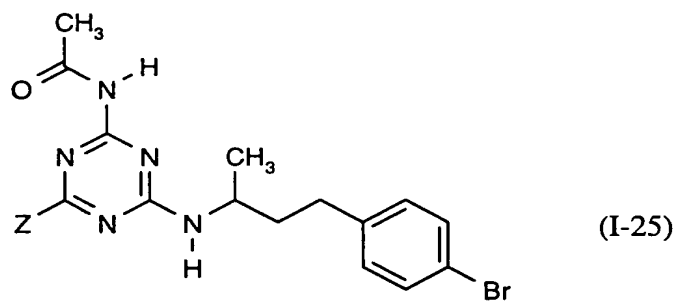
Here, Z has, for example, the meanings given above in group 1.

Group 24



- 5 Here, Z has, for example, the meanings given above in group 1.

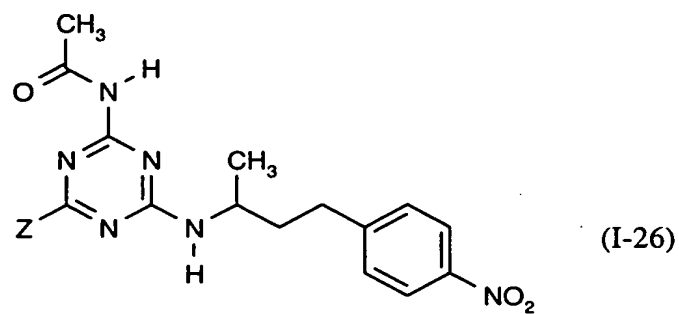
Group 25



10

- Here, Z has, for example, the meanings given above in group 1.

Group 26

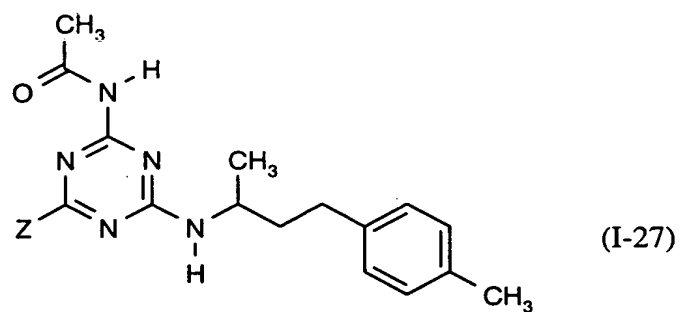


15

Here, Z has, for example, the meanings given above in group 1.

Group 27

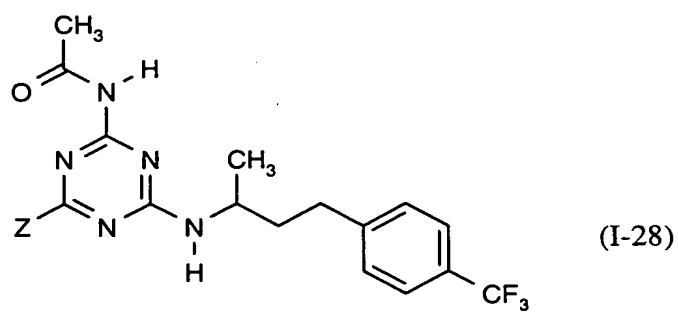
5



Here, Z has, for example, the meanings given above in group 1.

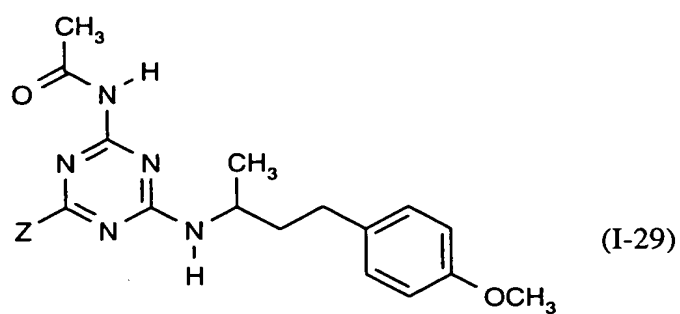
Group 28

10



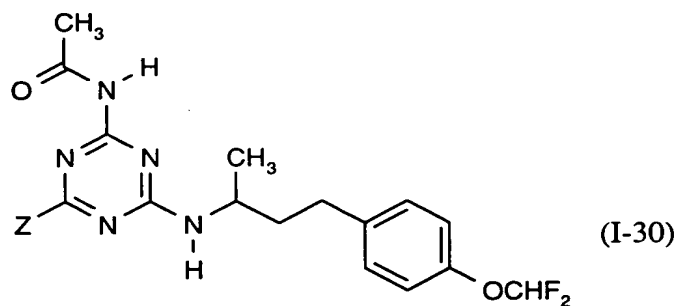
Here, Z has, for example, the meanings given above in group 1.

Group 29



5 Here, Z has, for example, the meanings given above in group 1.

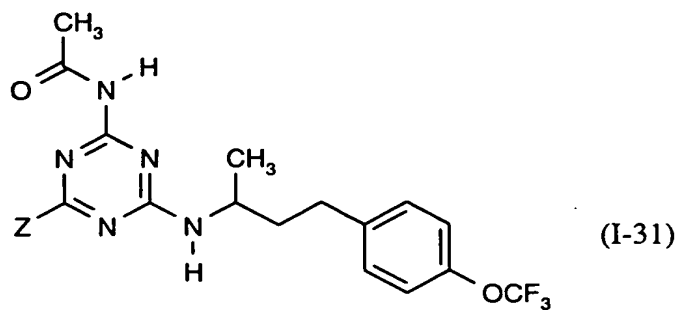
Group 30



10

Here, Z has, for example, the meanings given above in group 1.

Group 31

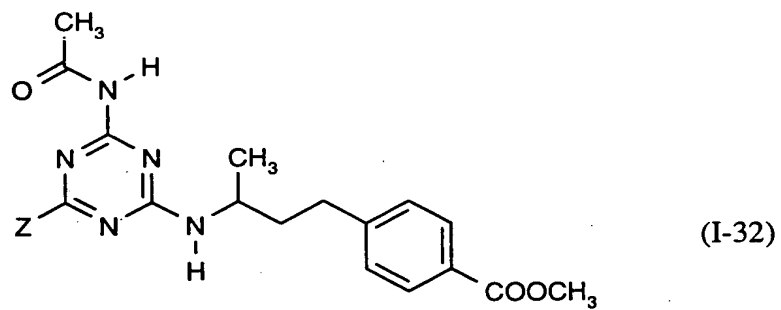


15

Here, Z has, for example, the meanings given above in group 1.

Group 32

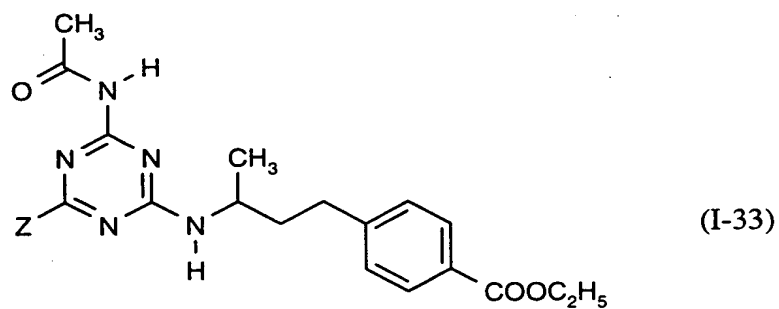
5



Here, Z has, for example, the meanings given above in group 1.

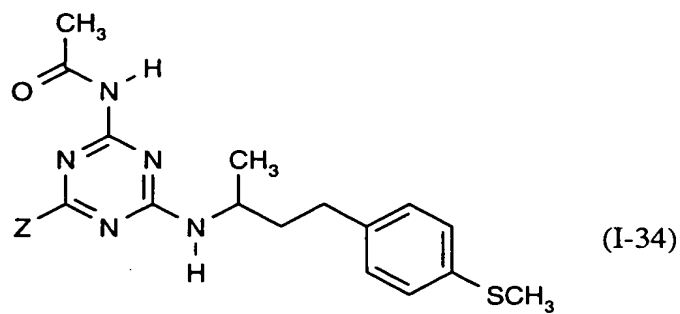
Group 33

10



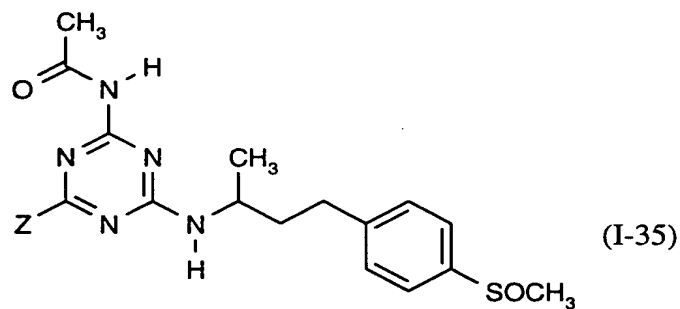
Here, Z has, for example, the meanings given above in group 1.

Group 34



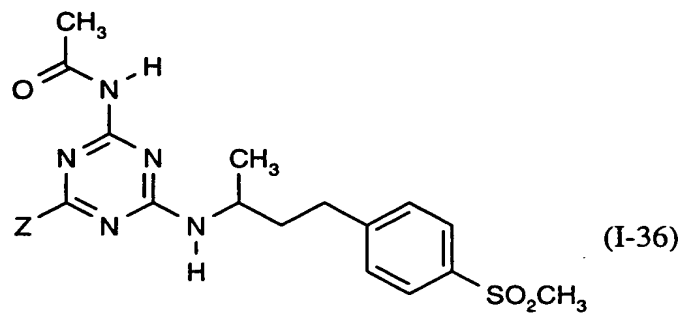
5 Here, Z has, for example, the meanings given above in group 1.

Group 35



10 Here, Z has, for example, the meanings given above in group 1.

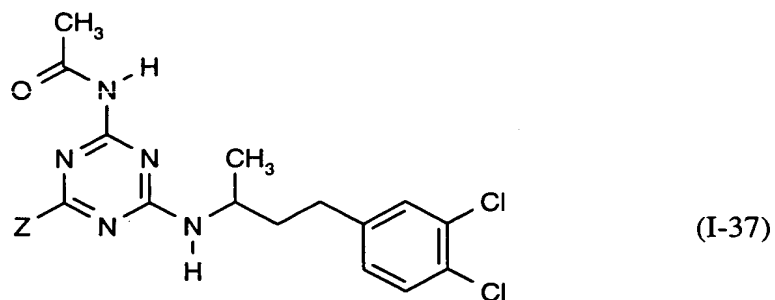
Group 36



15

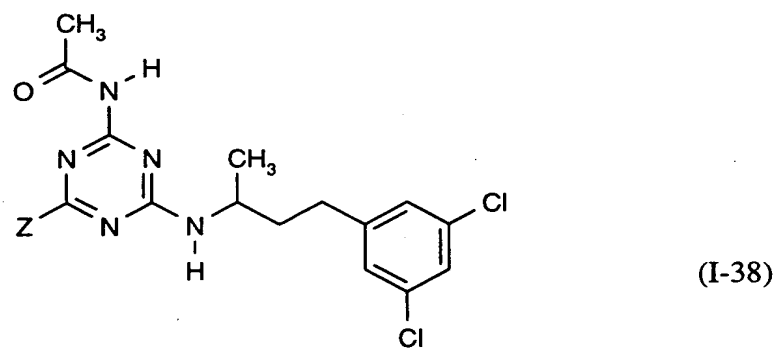
Here, Z has, for example, the meanings given above in group 1.

Group 37



5 Here, Z has, for example, the meanings given above in group 1.

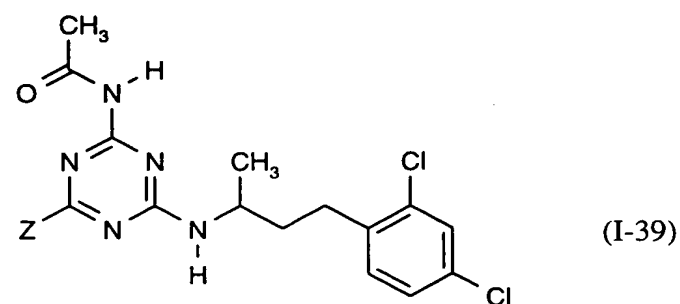
Group 38



10

Here, Z has, for example, the meanings given above in group 1.

Group 39

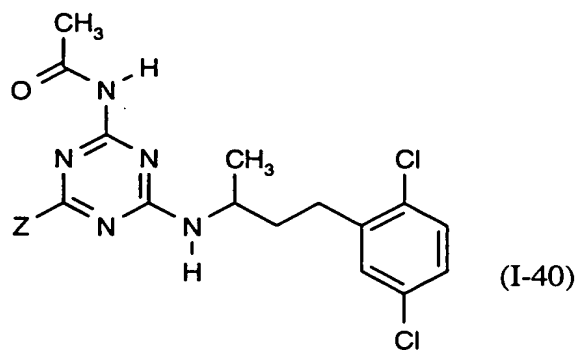


15

Here, Z has, for example, the meanings given above in group 1.

Group 40

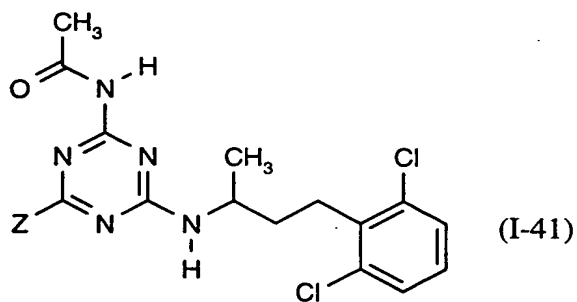
5



Here, Z has, for example, the meanings given above in group 1.

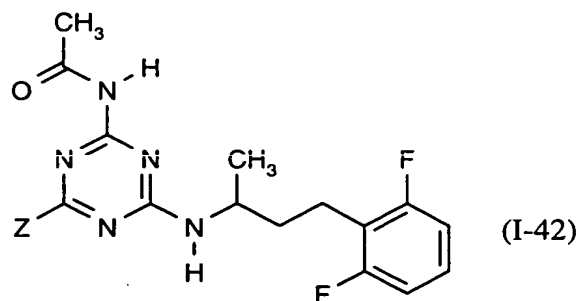
Group 41

10



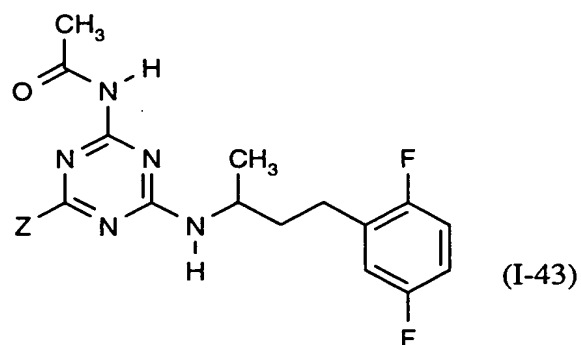
Here, Z has, for example, the meanings given above in group 1.

Group 42



- 5 Here, Z has, for example, the meanings given above in group 1.

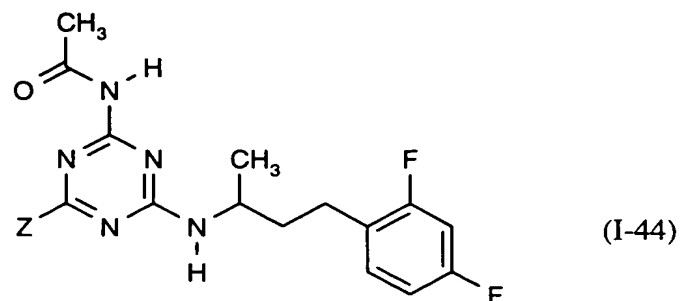
Group 43



10

- Here, Z has, for example, the meanings given above in group 1.

Group 44

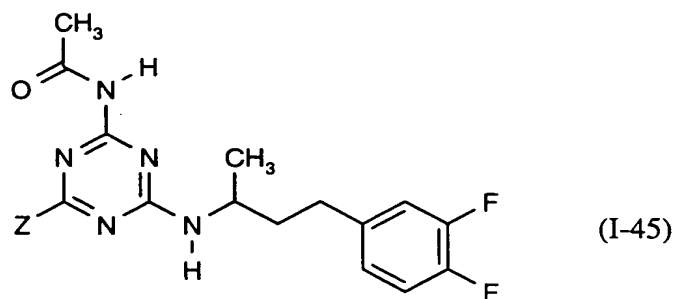


15

Here, Z has, for example, the meanings given above in group 1.

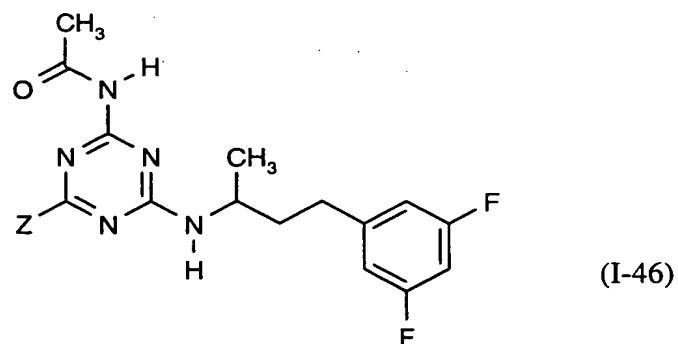
Group 45

5



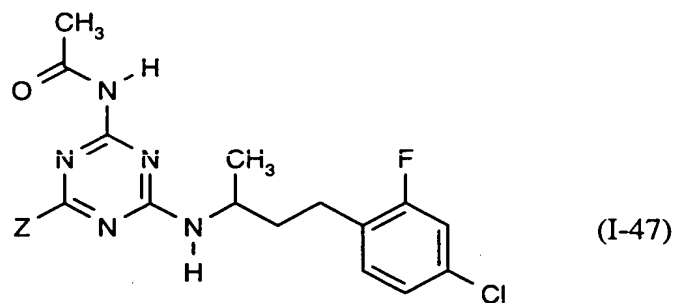
Here, Z has, for example, the meanings given above in group 1.

10 Group 46



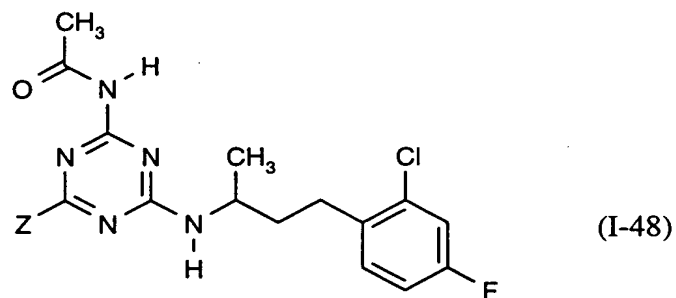
Here, Z has, for example, the meanings given above in group 1.

Group 47



5 Here, Z has, for example, the meanings given above in group 1.

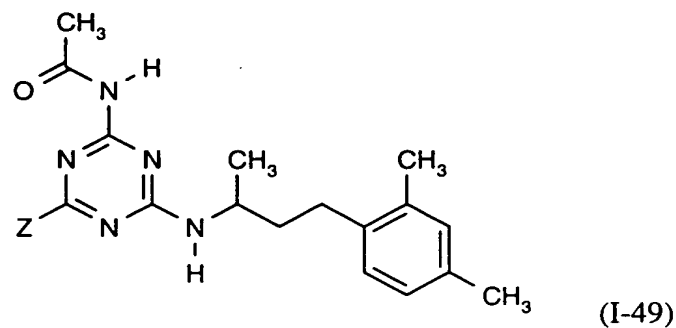
Group 48



10

Here, Z has, for example, the meanings given above in group 1.

Group 49

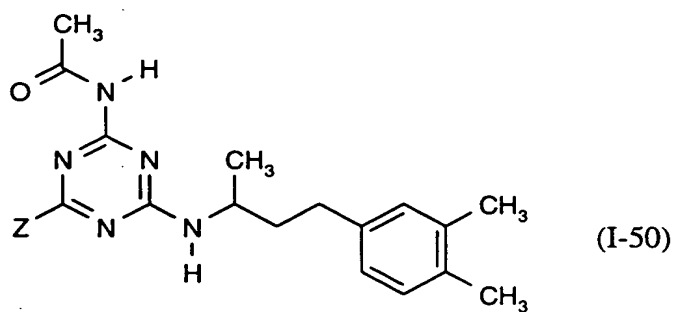


15

Here, Z has, for example, the meanings given above in group 1.

Group 50

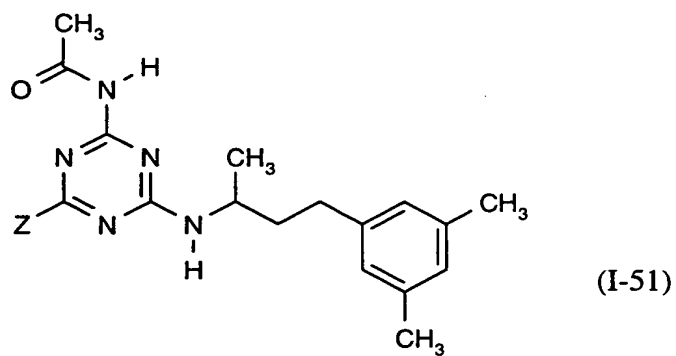
5



Here, Z has, for example, the meanings given above in group 1.

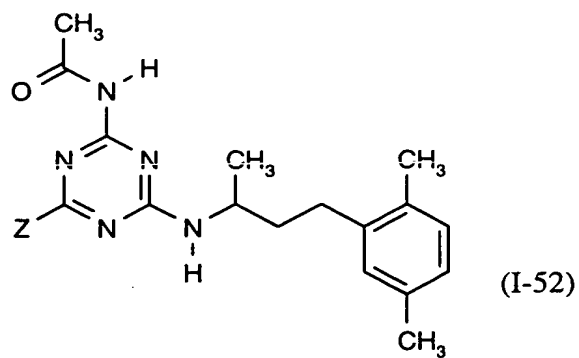
Group 51

10



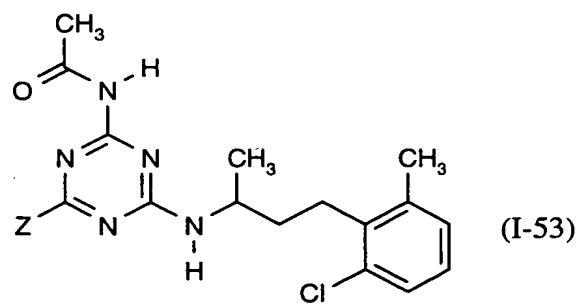
Here, Z has, for example, the meanings given above in group 1.

Group 52



5 Here, Z has, for example, the meanings given above in group 1.

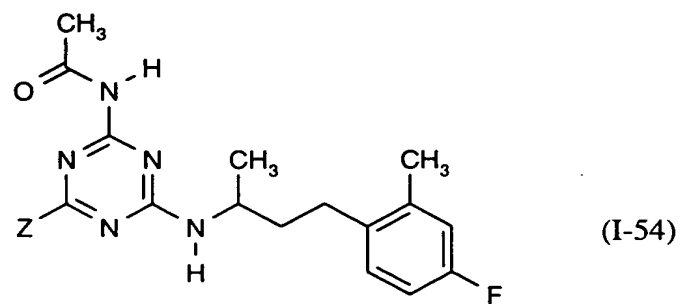
Group 53



10

Here, Z has, for example, the meanings given above in group 1.

Group 54

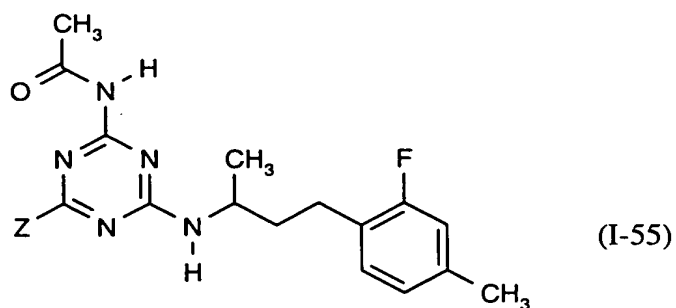


15

Here, Z has, for example, the meanings given above in group 1.

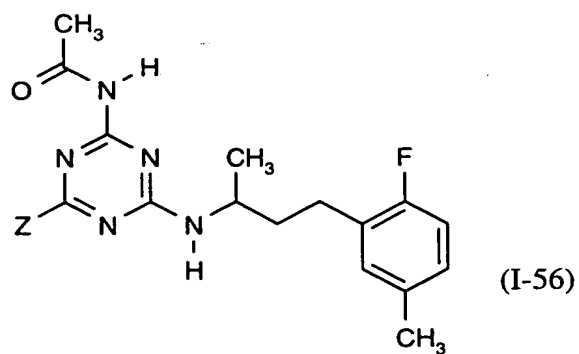
Group 55

5



Here, Z has, for example, the meanings given above in group 1.

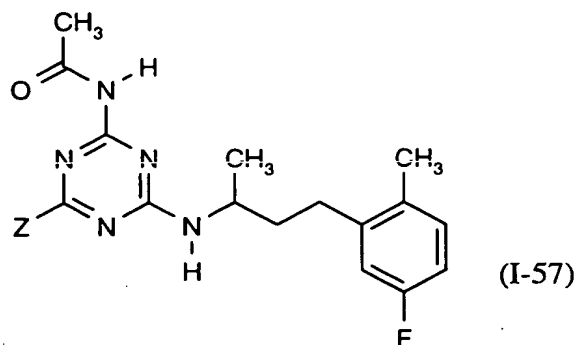
10 Group 56



Here, Z has, for example, the meanings given above in group 1.

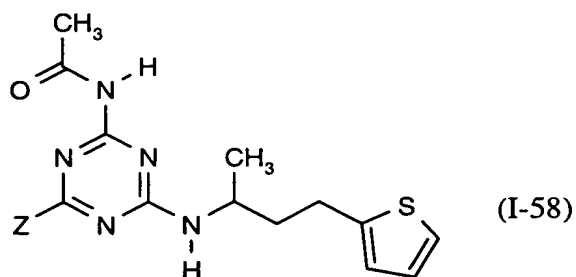
15

Group 57



- 5 Here, Z has, for example, the meanings given above in group 1.

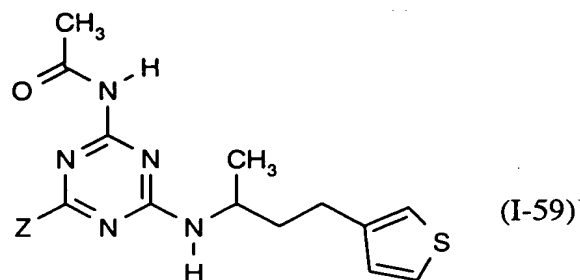
Group 58



10

- Here, Z has, for example, the meanings given above in group 1.

Group 59

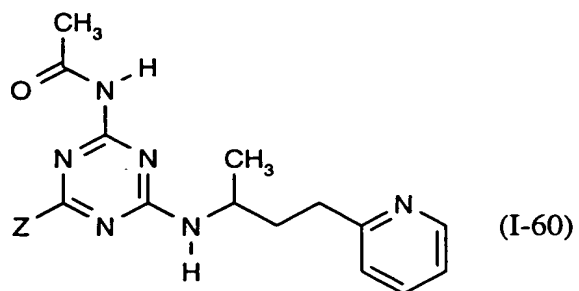


15

Here, Z has, for example, the meanings given above in group 1.

Group 60

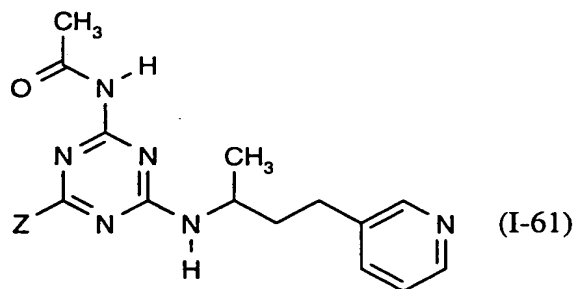
5



Here, Z has, for example, the meanings given above in group 1.

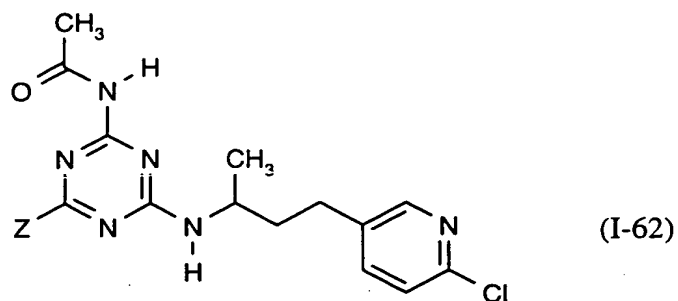
Group 61

10



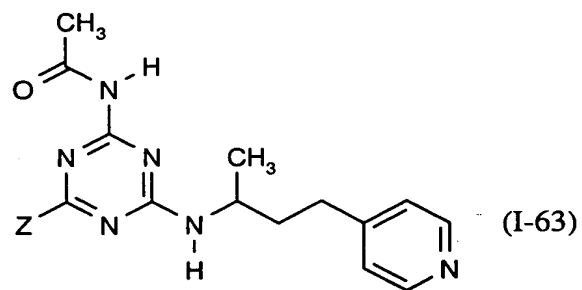
Here, Z has, for example, the meanings given above in group 1.

Group 62



- 5 Here, Z has, for example, the meanings given above in group 1.

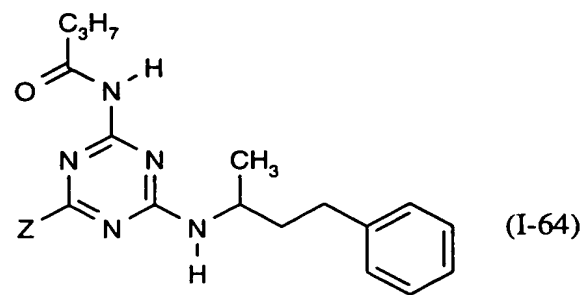
Group 63



10

- Here, Z has, for example, the meanings given above in group 1.

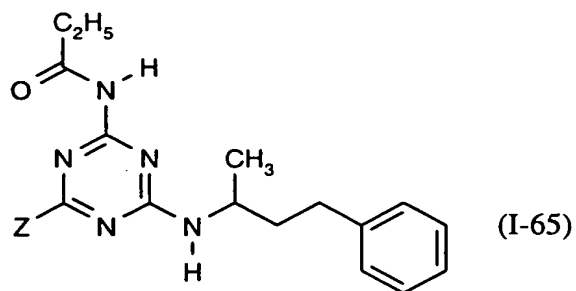
Group 64



15

Here, Z has, for example, the meanings given above in group 1.

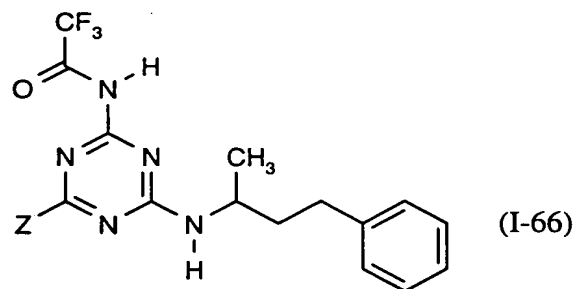
Group 65



Here, Z has, for example, the meanings given above in group 1.

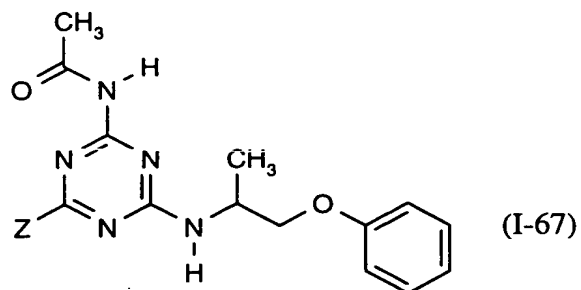
Group 66

10



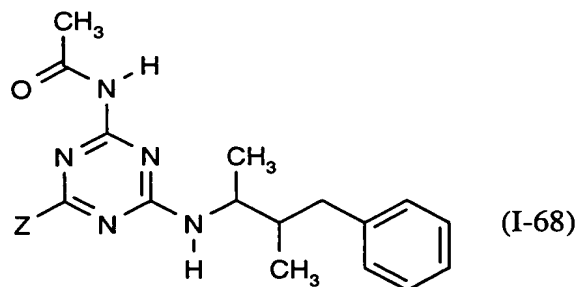
Here, Z has, for example, the meanings given above in group 1.

Group 67



5 Here, Z has, for example, the meanings given above in group 1.

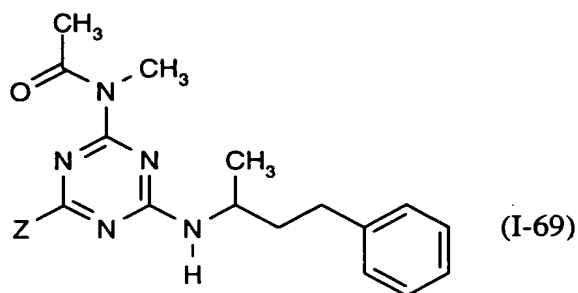
Group 68



10

Here, Z has, for example, the meanings given above in group 1.

Group 69

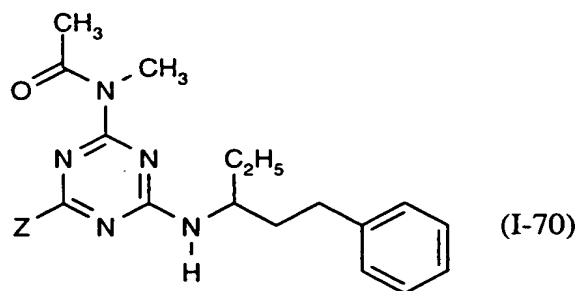


15

Here, Z has, for example, the meanings given above in group 1.

Group 70

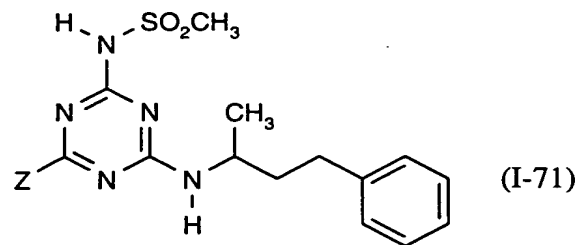
5



Here, Z has, for example, the meanings given above in group 1.

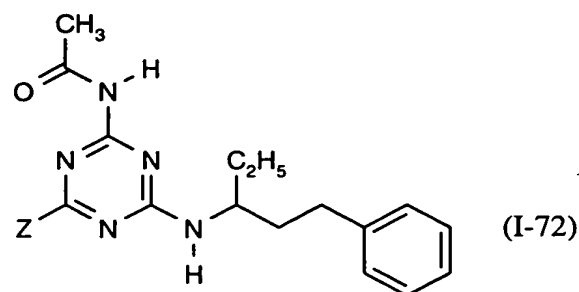
Group 71

10



Here, Z has, for example, the meanings given above in group 1.

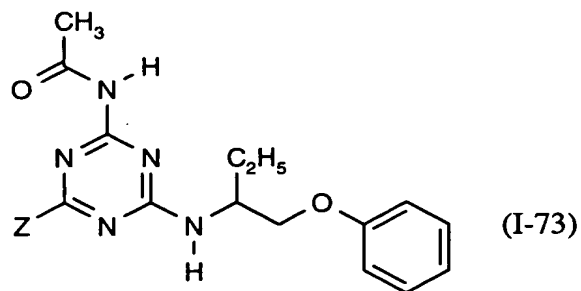
15 Group 72



Here, Z has, for example, the meanings given above in group 1.

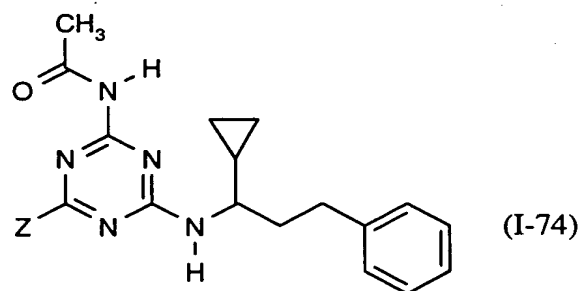
Group 73

5



Here, Z has, for example, the meanings given above in group 1.

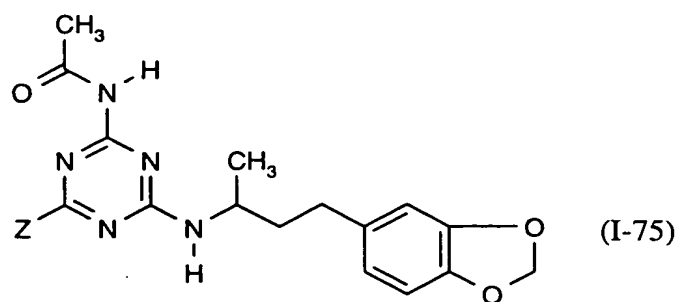
10 Group 74



Here, Z has, for example, the meanings given above in group 1.

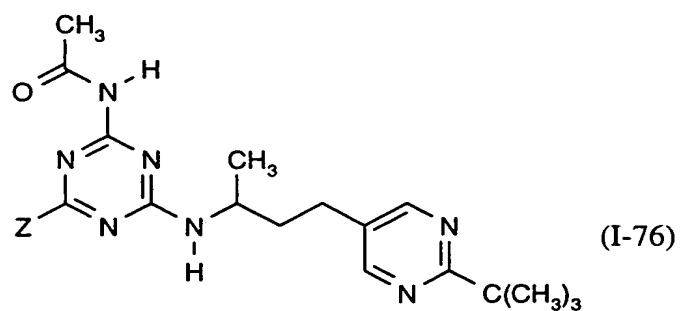
15

Group 75



5 Here, Z has, for example, the meanings given above in group 1.

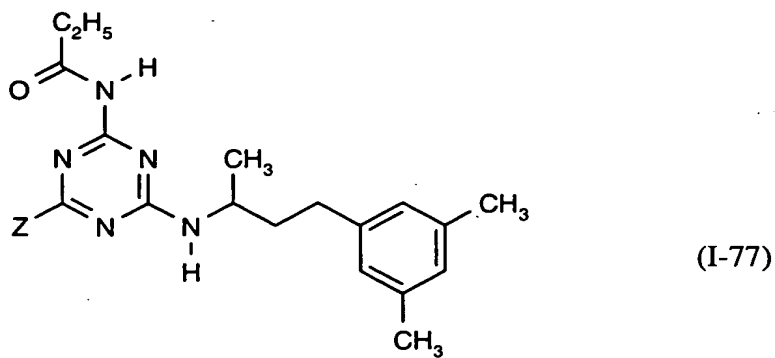
Group 76



10

Here, Z has, for example, the meanings given above in group 1.

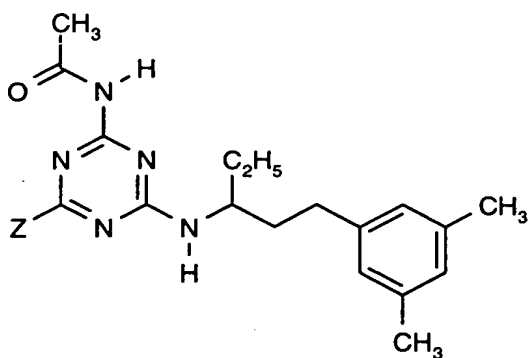
Group 77



15

Here, Z has, for example, the meanings given above in group 1.

Group 78

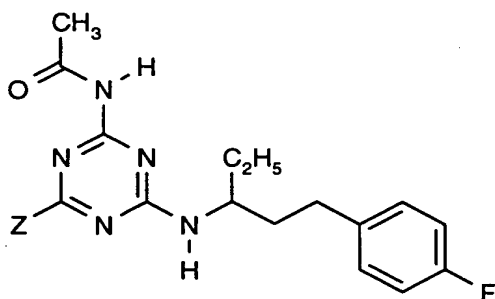


(I-78)

5

Here, Z has, for example, the meanings given above in group 1.

Group 78a

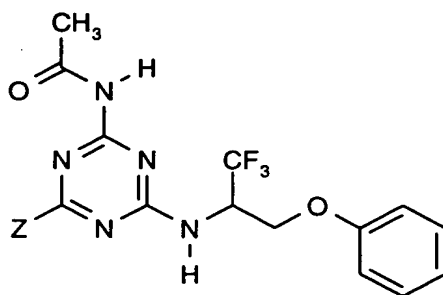


(I-78a)

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Here, Z has, for example, the meanings given above in group 1.

Group 79

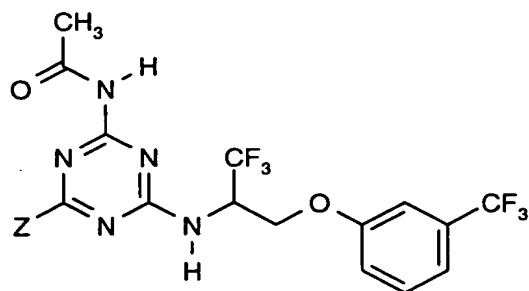


(I-79)

15

Here, Z has, for example, the meanings given above in group 1.

Group 80

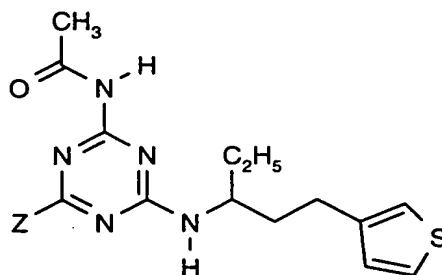


(I-80)

5

Here, Z has, for example, the meanings given above in group 1.

Group 81

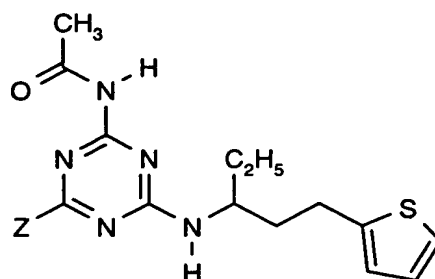


(I-81)

10

Here, Z has, for example, the meanings given above in group 1.

Group 82

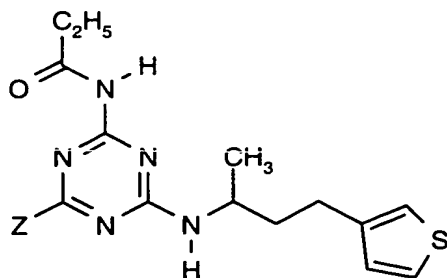


(I-82)

15

Here, Z has, for example, the meanings given above in group 1.

Group 83

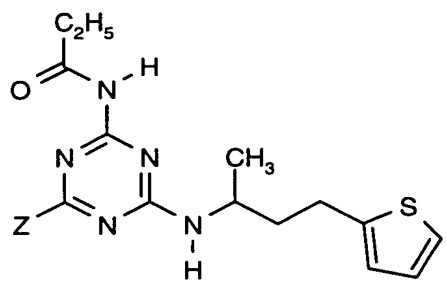


(I-83)

Here, Z has, for example, the meanings given above in group 1.

5

Group 84

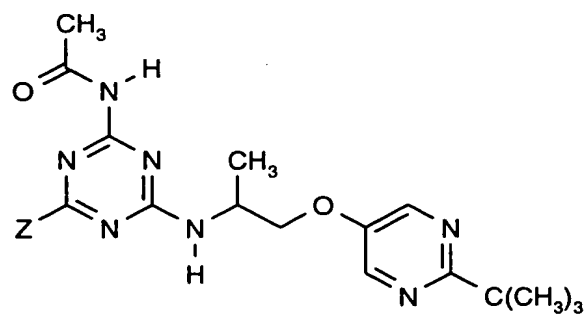


(I-84)

Here, Z has, for example, the meanings given above in group 1.

10

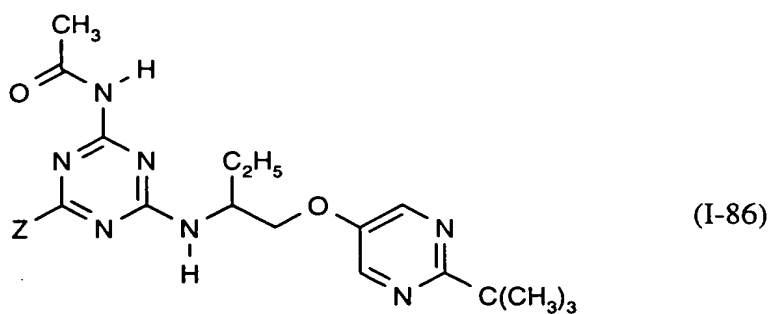
Group 85



(I-85)

Here, Z has, for example, the meanings given above in group 1.

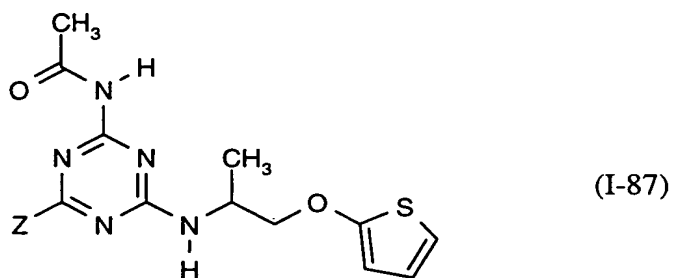
Group 86



Here, Z has, for example, the meanings given above in group 1.

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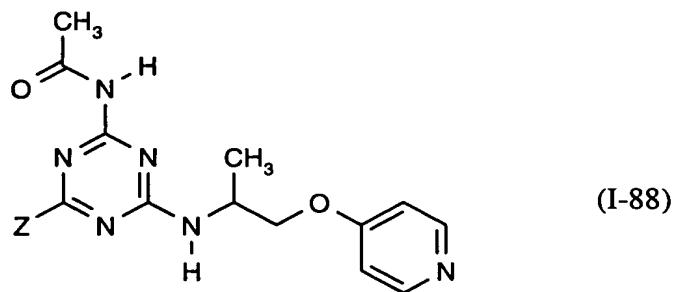
Group 87



Here, Z has, for example, the meanings given above in group 1.

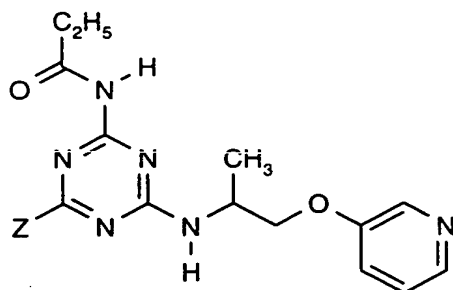
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Group 88



Here, Z has, for example, the meanings given above in group 1.

Group 89

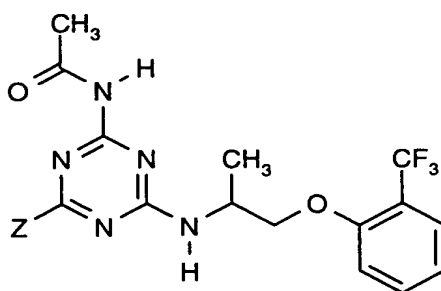


(I-89)

Here, Z has, for example, the meanings given above in group 1.

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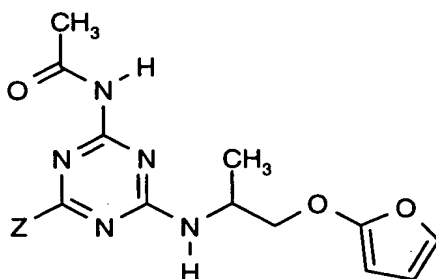
Group 90



(I-90)

10 Here, Z has, for example, the meanings given above in group 1.

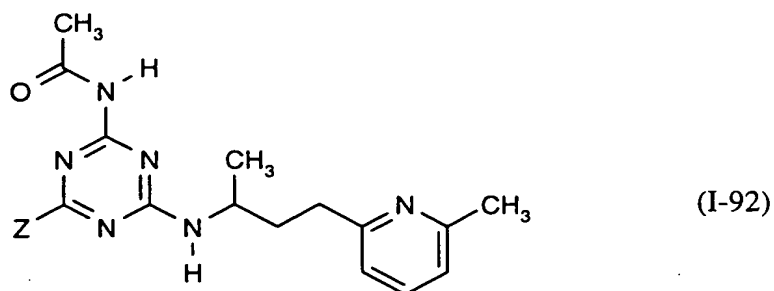
Group 91



(I-91)

15 Here, Z has, for example, the meanings given above in group 1.

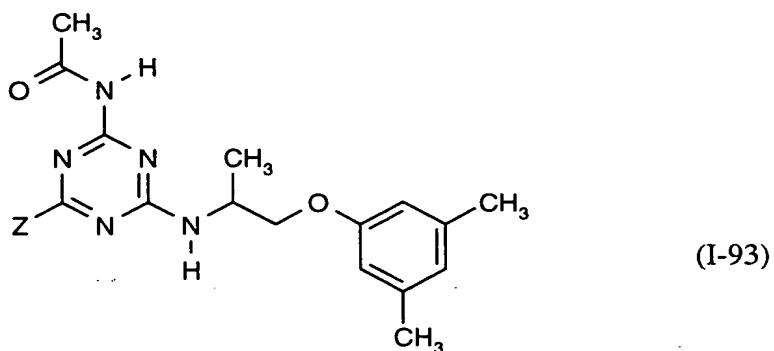
Group 92



Here, Z has, for example, the meanings given above in group 1.

5

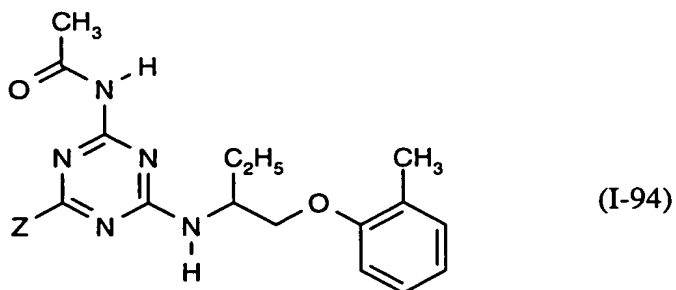
Group 93



Here, Z has, for example, the meanings given above in group 1.

10

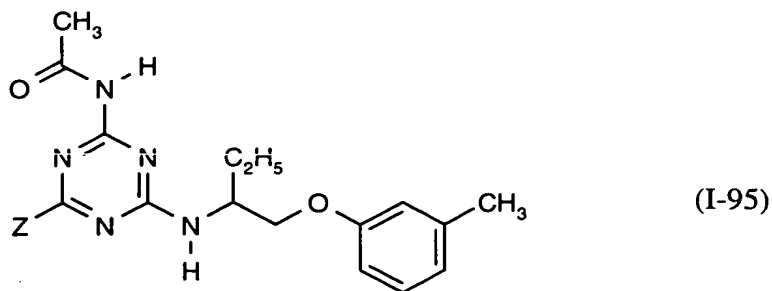
Group 94



Here, Z has, for example, the meanings given above in group 1.

15

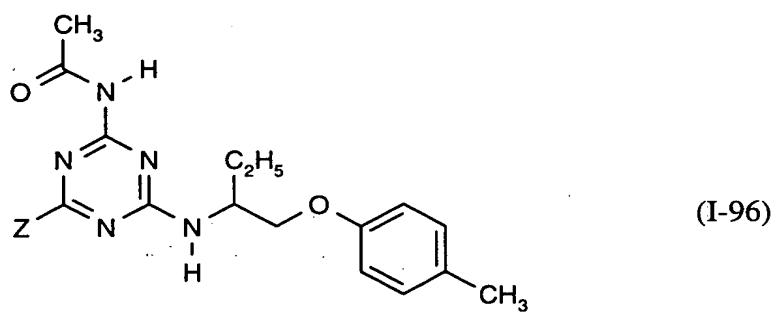
Group 95



Here, Z has, for example, the meanings given above in group 1.

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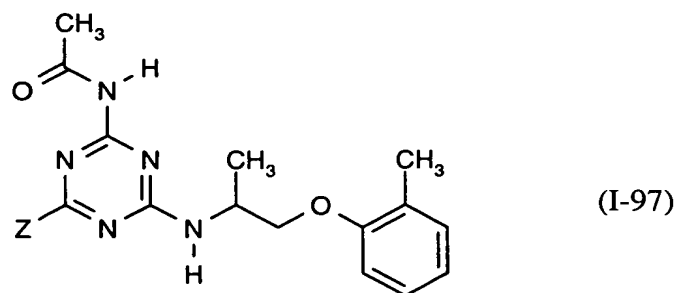
Group 96



Here, Z has, for example, the meanings given above in group 1.

10

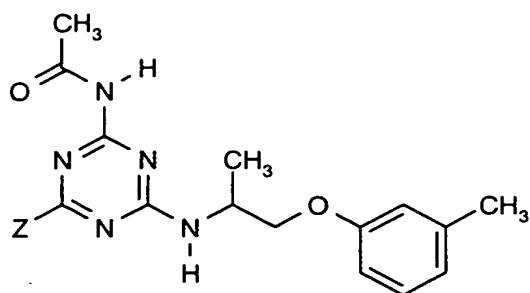
Group 97



Here, Z has, for example, the meanings given above in group 1.

15

Group 98

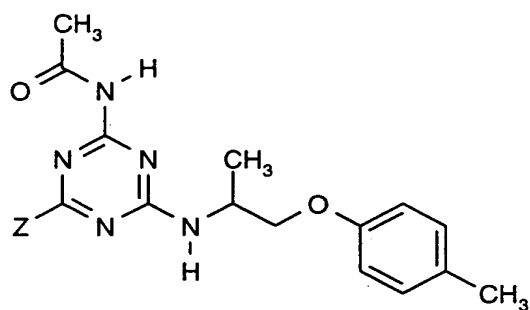


(I-98)

Here, Z has, for example, the meanings given above in group 1.

5

Group 99

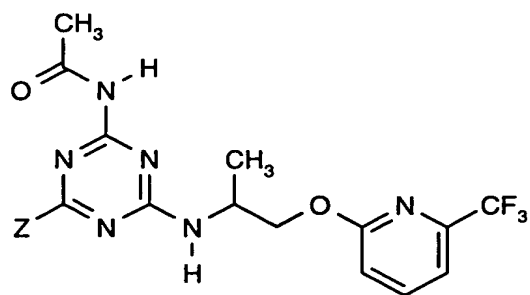


(I-99)

Here, Z has, for example, the meanings given above in group 1.

10

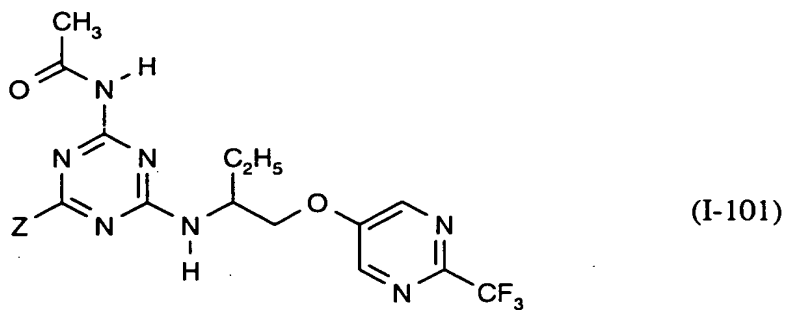
Group 100



(I-100)

Here, Z has, for example, the meanings given above in group 1.

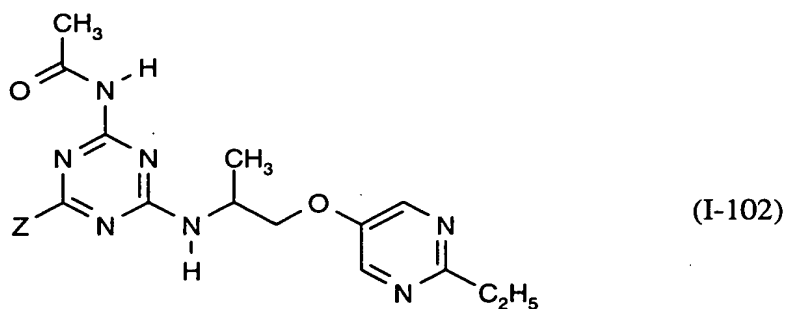
Group 101



Here, Z has, for example, the meanings given above in group 1.

5

Group 102

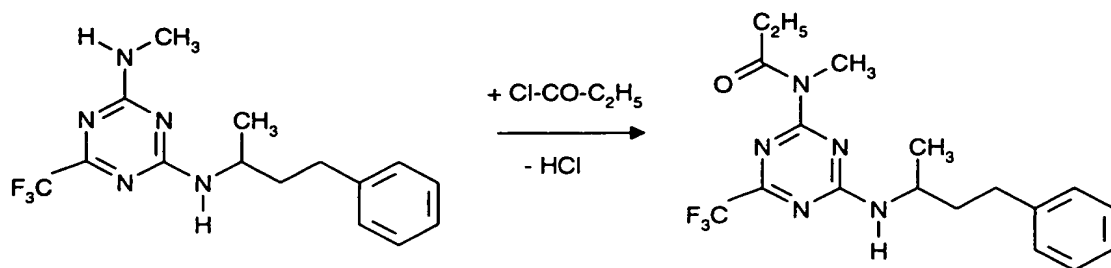


Here, Z has, for example, the meanings given above in group 1.

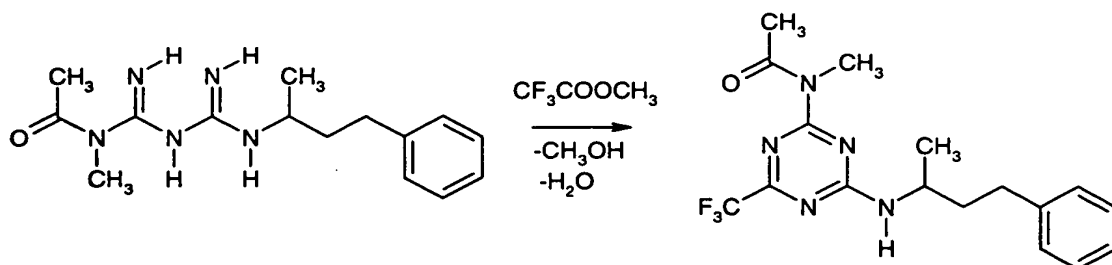
10

Using, for example, 2-methylamino-4-(1-methyl-3-phenyl-propylamino)-6-trifluoromethyl-1,3,5-triazine and propionyl chloride as starting materials, the course of the reaction in the process (a) according to the invention can be illustrated by the following equation:

15

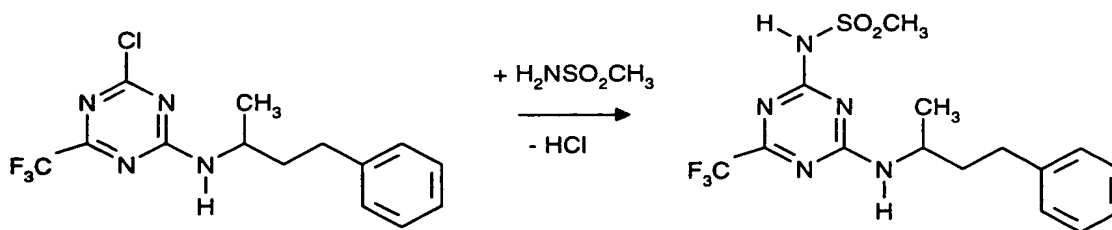


Using, for example, 1-(1-methyl-3-phenyl-propyl)-5-acetyl-5-methyl-biguanide and methyl trifluoroacetate as starting materials, the course of the reaction in the process (b) according to the invention can be illustrated by the following equation:



5

Using, for example, 2-chloro-4-(1-methyl-3-phenyl-propylamino)-6-trifluoromethyl-1,3,5-triazine and methanesulphonamide as starting materials, the course of the reaction in the process (c) according to the invention can be illustrated by the following equation:

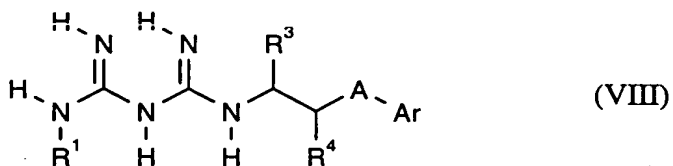


The formula (II) provides a general definition of the 2,4-diamino-1,3,5-triazines to be used as starting materials in the process (a) according to the invention for preparing compounds of the formula (I). In the formula (II), R^1 , R^3 , R^4 , A, Ar and Z each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R^1 , R^3 , R^4 , A, Ar and Z.

20

The starting materials of the formula (II) are known and/or can be prepared by processes known per se (cf. EP 273328, EP 411153, EP 50954, Preparation Examples); some of them also form part of the subject-matter of an application filed at the same time (cf. DE 19641693/LeA 31975).

The 2,4-diamino-1,3,5-triazines of the general formula (II) are obtained when
(a) substituted biguanidines of the general formula (VIII)

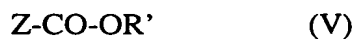


in which

R^1 , R^3 , R^4 , A and Ar are each as defined above,

- and/or acid adducts of compounds of the general formula (II), such as, for example,
the corresponding hydrochlorides-

are reacted with alkoxycarbonyl compounds of the general formula (V)



in which

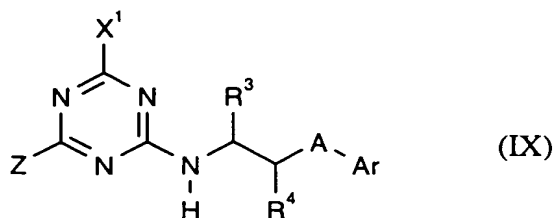
Z is as defined above, with the exception of nitro, and

R' represents alkyl,

if appropriate in the presence of a reaction auxiliary, such as, for example, sodium
methoxide, and if appropriate in the presence of diluent, such as, for example,
methanol, at temperatures between 0°C and 100°C,

or when

(b) substituted triazines of the general formula (IX)



in which

5

R^3 , R^4 , A, Ar and Z are each as defined above and

X^1 represents halogen or alkoxy,

10 are reacted with amino compounds of the general formula (X)



in which

15

R^1 is as defined above,

if appropriate in the presence of a reaction auxiliary, such as, for example, potassium carbonate, and if appropriate in the presence of a diluent, such as, for example, water,
20 methanol, ethanol or tetrahydrofuran, at temperatures between 0°C and 100°.

The formula (III) provides a general definition of the acylating or sulphonylating agents further to be used as starting materials in the process (a) according to the invention for preparing compounds of the formula (I). In the formula (III), R^2
25 preferably or in particular has that meaning which has already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R^2 ; Y

preferably represents chlorine, bromine, iodine, methoxy, ethoxy, acetyloxy or propionyloxy.

The starting materials of the formula (III) are known chemicals for synthesis.

5

The formula (IV) provides a general definition of the substituted biguanides to be used as starting materials in the process (b) according to the invention for preparing compounds of the formula (I). In the formula (IV), R¹, R², R³, R⁴, A and Ar each preferably or in particular have those meanings which have already been mentioned
10 above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R¹, R², R³, R⁴, A and Ar.

Examples of the substituted biguanides of the formula (IV) which may be mentioned
15 are:

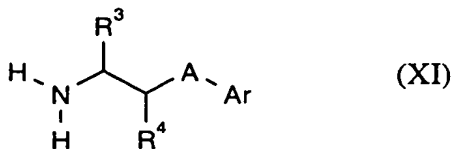
1-(1-methyl-3-phenyl-propyl)-, 1-(1,2-dimethyl-3-phenyl-propyl)-, 1-(1-methyl-3-(2-fluoro-phenyl)-propyl)-, 1-(1-methyl-3-(3-fluoro-phenyl)-propyl)-, 1-(1-methyl-3-(4-fluoro-phenyl)-propyl)-, 1-(1-methyl-3-(2-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(3-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(4-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(2-bromo-phenyl)-propyl)-, 1-(1-methyl-3-(3-bromo-phenyl)-propyl)-, 1-(1-methyl-3-(4-bromo-phenyl)-propyl)-, 1-(1-methyl-3-(2-nitro-phenyl)-propyl)-, 1-(1-methyl-3-(3-nitro-phenyl)-propyl)-, 1-(1-methyl-3-(4-nitro-phenyl)-propyl)-, 1-(1-methyl-3-(2-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(3-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-trifluoromethyl-phenyl)-propyl)-, 1-(1-methyl-3-(3-trifluoromethyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-trifluoromethyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-methoxy-phenyl)-propyl)-, 1-(1-methyl-3-(3-methoxy-phenyl)-propyl)-, 1-(1-methyl-3-(4-methoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-difluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-difluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-trifluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(3-trifluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(4-trifluoromethoxy-phenyl)-propyl)-, 1-(1-methyl-3-(2-methoxy-

20
25
30

carbonyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-ethoxycarbonyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-methoxycarbonyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-ethoxycarbonyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-methylthio-phenyl)-propyl)-, 1-(1-methyl-3-(4-methylthio-phenyl)-propyl)-, 1-(1-methyl-3-(2-methylsulphinyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-methylsulphinyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-methylsulphonyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-methylsulphonyl-phenyl)-propyl)-, 1-(1-methyl-3-(3,4-dichloro-phenyl)-propyl)-, 1-(1-methyl-3-(2,4-dichloro-phenyl)-propyl)-, 1-(1-methyl-3-(2,5-dichloro-phenyl)-propyl)-, 1-(1-methyl-3-(2,6-dichloro-phenyl)-propyl)-, 1-(1-methyl-3-(2,6-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(2,5-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(2,4-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(3,4-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(3,5-difluoro-phenyl)-propyl)-, 1-(1-methyl-3-(2-fluoro-4-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(4-fluoro-2-chloro-phenyl)-propyl)-, 1-(1-methyl-3-(2,4-dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(3,4-dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(3,5-dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(2,5-dimethyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-chloro-6-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(4-fluoro-2-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-fluoro-4-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(2-fluoro-5-methyl-phenyl)-propyl)-, 1-(1-methyl-3-(5-fluoro-2-methyl-phenyl)-propyl)-, 1-(1-methyl-3-thien-2-yl-propyl)-, 1-(1-methyl-3-thien-3-yl-propyl)-, 1-(1-methyl-3-pyridin-2-yl-propyl)-, 1-(1-methyl-3-pyridin-3-yl-propyl)- and 1-(1-methyl-3-pyridin-4-yl-propyl)- 5-acetyl-5-methyl-biguanide-5-acetyl-5-ethyl-biguanide, -5-methyl-5-propionyl-biguanide, -5-acetyl-biguanide, -5-propionyl-biguanide, 5-trifluoroacetyl-biguanide, 5-methylsulphonyl-biguanide and -5-ethylsulphonyl-biguanide.

25 The starting materials of the general formula (IV) have hitherto not been disclosed in the literature; as novel substances, they also form part of the subject-matter of the present application.

The novel substituted biguanidines of the general formula (IV) are obtained when
30 substituted alkylamino compounds of the general formula (XI)

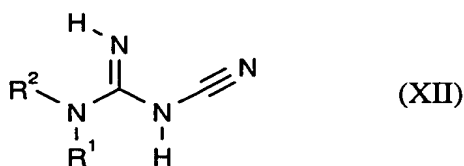


in which

5 R^3, R^4, A and A_r are each as defined above,

- and/or acid adducts of compounds of the general formula (XI), such as, for example, the hydrochlorides -

10 are reacted with substituted cyanoguanidines of the general formula (XII)



in which

15

R^1 and R^2 are each as defined above,

if appropriate in the presence of a reaction auxiliary, such as, for example, hydrogen chloride, and if appropriate in the presence of a diluent, such as, for example, n-decane or 1,2-dichloro-benzene, at temperatures between 100°C and 200°C (cf. EP 492615, Preparation Examples).

The substituted alkylamino compounds of the general formula (XI) required as intermediates for this purpose are known and/or can be prepared by processes known per se (cf. DE 3426919; DE 4000610; DE 4332738, EP 320898; EP 443606; Tetrahedron: Asymmetry 5 (1994), 817-820; Tetrahedron Lett. 29 (1988), 223-224; loc. cit. 36 (1995), 3917-3920; Preparation Examples).

The formula (V) provides a general definition of the alkoxycarbonyl compounds further to be used as starting materials in the process (b) according to the invention for preparing compounds of the formula (I). In the formula (V), Z preferably or in particular has that meaning which has already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for Z; R' preferably represents alkyl having 1 to 4 carbon atoms, and in particular represents methyl or ethyl.

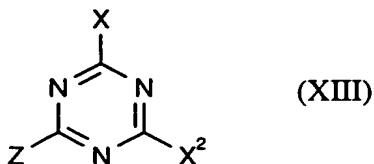
10 The starting materials of the formula (V) are known chemicals for synthesis.

The formula (VI) provides a general definition of the substituted halogenotriazines to be used as starting materials in the process (c) according to the invention for preparing compounds of the formula (I). In the formula (VI), R³, R⁴, A, Ar and Z each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R³, R⁴, A, Ar and Z; X preferably represents fluorine, chlorine or bromine, and in particular represents chlorine.

20

The starting materials of the general formula (VI) have hitherto not been disclosed in the literature; as novel substances, they also form part of the subject-matter of an application which was filed at the same time (cf. DE 196 41 693.0).

25 The novel substituted halogenotriazines of the general formula (VI) are obtained when triazines of the general formula (XIII)

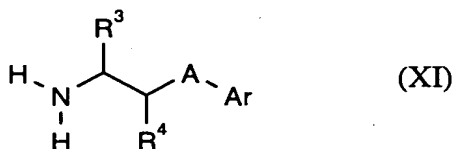


in which

X and Z are each as defined above and

5 X² represents halogen

are reacted with substituted alkylamino compounds of the general formula (XI)



10

in which

R³, R⁴, A and Ar are each as defined above,

15 if appropriate in the presence of an acid acceptor, such as, for example, ethyldiisopropylamine, and if appropriate in the presence of a diluent, such as, for example, tetrahydrofuran or dioxane, at temperatures between -50°C and +50°C (cf. the Preparation Examples).

20 The formula (VII) provides a general definition of the nitrogen compounds further to be used as starting materials in the process (c) according to the invention for preparing compounds of the formula (I). In the formula (VII), R¹ and R² preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the
25 invention, as being preferred or as being particularly preferred for R¹ and R².

The starting materials of the formula (VII) are known chemicals for synthesis.

The formula (VI) provides a general definition of the substituted alkylamines further to be used as starting materials in the process (c) according to the invention. In the formula (VI), R¹, R² and Y each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (IV) according to the invention, as being preferred or as
5 being particularly preferred for R¹, R² and Y.

The starting materials of the general formula (VI) are known and/or can be prepared by processes known per se (cf. DE 3426919; DE 4000610; DE 4332738, EP 320898; EP 443606; Tetrahedron: Asymmetry 5 (1994), 817-820; Tetrahedron Lett. 29
10 (1988), 223-224; loc. cit. 36 (1995), 3917-3920; Preparation Examples).

If appropriate, the processes according to the invention for preparing the compounds of the formula (I) are carried out using a reaction auxiliary. Suitable reaction auxiliaries for the processes (a), (b) and (c) are, in general, the customary inorganic or organic bases or acid acceptors. These preferably include alkali metal or alkaline earth metal acetates, amides, carbonates, bicarbonates, hydrides, hydroxides or alkoxides, such as, for example, sodium acetate, potassium acetate or calcium acetate, lithium amide, sodium amide, potassium amide or calcium amide, sodium
15 carbonate, potassium carbonate or calcium carbonate, sodium bicarbonate, potassium bicarbonate or calcium bicarbonate, lithium hydride, sodium hydride, potassium hydride or calcium hydride, lithium hydroxide, sodium hydroxide, potassium hydroxide or calcium hydroxide, sodium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide or potassium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-
20 butoxide; furthermore also basic organic nitrogen compounds, such as, for example, trimethylamine, triethylamine, tripropylamine, tributylamine, ethyl-diisopropylamine, N,N-dimethyl-cyclohexylamine, dicyclohexylamine, ethyl-dicyclohexylamine, N,N-dimethylaniline, N,N-dimethyl-benzylamine, pyridine, 2-methyl-, 3-methyl-, 4-ethyl-, 2,4-dimethyl-, 2,6-dimethyl-, 3,4-dimethyl- and 3,5-dimethyl-pyridine, 5-ethyl-2-
25 methyl-pyridine, 4-dimethylamino-pyridine, N-methyl-piperidine, 1,4-diazabicyclo[2,2,2]-octane (DABCO), 1,5-diazabicyclo[4,3,0]-non-5-ene (DBN), or 1,8-diazabicyclo[5,4,0]-undec-7-ene (DBU).
30

Suitable diluents for carrying out the processes (a), (b) and (c) according to the invention are especially inert organic solvents. These include in particular aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzine, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl or diethyl ether; ketones, such as methyl isopropyl ketone or methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or butyronitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-formanilide, N-methyl-pyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate or ethyl acetate; sulphoxides, such as dimethyl sulphoxide; alcohols, such as methanol, ethanol, n- or i-propanol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, mixtures thereof with water or pure water.

In the practice of the processes (a), (b) and (c) according to the invention, the reaction temperatures can be varied over a relatively wide range. Generally, the reaction is carried out at temperatures between 0°C and 200°C, preferably between 10°C and 150°C.

The processes (a), (b) and (c) according to the invention are generally carried out at atmospheric pressure. However, it is also possible to carry out the processes according to the invention under elevated or reduced pressure - generally between 0.1 bar and 10 bar.

In the practice of the processes according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to use a relatively large excess of one of the components. The reaction is generally carried out in a suitable diluent in the presence of a reaction auxiliary, and the reaction mixture is generally stirred for several hours at the temperature required. Work-up is carried out by conventional methods (cf. the Preparation Examples).

The active compounds according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weed-killers. By weeds in the broadest sense, there are to be understood all plants which grow in locations where they are undesirable.

- 5 Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

The active compounds according to the invention can be used, for example, in connection with the following plants:

10

Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex,
15 Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus and Taraxacum.

Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis and Cucurbita.

20

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus,
25 Apera and Phalaris.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena, Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus and Allium.

- 30 However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

- The compounds are suitable, depending on the concentration, for the total control of weeds, for example on industrial terrain and railway tracks, and on paths and squares with or without tree plantings. Equally, the compounds can be employed for controlling
- 5 weeds in perennial cultures, for example forests, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hopfields, on lawns, turf and pasture land, and for the selective control of weeds in annual cultures.
- 10
- The compounds of the formula (I) according to the invention are suitable in particular for selectively controlling monocotyledonous and dicotyledonous weeds in monocotyledonous and dikotyledonous crops, both pre-emergence and post-emergence.
- 15
- The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusting agents, pastes, soluble powders, granules, suspo-emulsion concentrates, natural and synthetic materials impregnated with active compound, and very fine capsules in polymeric substances.
- 20
- These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is liquid solvents and/or solid carriers, optionally with the use of surfactants, that is emulsifiers and/or dispersing agents and/or foam-forming agents.
- 25
- If the extender used is water, it is also possible to employ for example organic solvents as auxiliary solvents. Essentially, suitable liquid solvents are: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions,
- 30
- mineral and vegetable oils, alcohols, such as butanol or glycol and also their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or

cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

Suitable solid carriers are: for example ammonium salts and ground natural minerals,
5 such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates; suitable solid carriers for granules are: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, and also synthetic granules of inorganic and organic meals, and granules of organic material such as
10 sawdust, coconut shells, maize cobs and tobacco stalks; suitable emulsifiers and/or foam-forming agents are: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates and also protein hydrolysates; suitable dispersing agents are: for example lignin-sulphite waste
15 liquors and methylcellulose.

Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latexes, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, as well as natural phospholipids, such as cephalins and lecithins, and
20 synthetic phospholipids, can be used in the formulations. Other possible additives are mineral and vegetable oils.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyes, such as alizarin dyes, azo dyes and
25 metal phthalocyanine dyes, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

For controlling weeds, the active compounds according to the invention, as such or in the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

5 Possible components for the mixtures are known herbicides, for example

- acetochlor, acifluorfen(-sodium), aclonifen, alachlor, alloxydim(-sodium), ametryne, amidochlor, amidosulfuron, asulam, atrazine, azimsulfuron, benazolin, benfuresate, bensulfuron(-methyl), bentazon, benzofenap, benzoylprop(-ethyl), bialaphos, bifenox,
- 10 bromobutide, bromofenoxim, bromoxynil, butachlor, butylate, cafenstrole, carbetamide, chlomethoxyfen, chloramben, chloridazon, chlorimuron(-ethyl), chlornitrofen, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, clethodim, clodinafop(-propargyl), clomazone, clopyralid, clopyrasulfuron, cloransulam(-methyl), cumyluron, cyanazine, cycloate, cyclosulfamuron, cycloxydim, cyhalofop(-butyl), 2,4-
- 15 D, 2,4-DB, 2,4-DP, desmedipham, diallate, dicamba, diclofop(-methyl), difenzoquat, diflufenican, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dinitramine, diphenamid, diquat, dithiopyr, diuron, dymron, EPTC, esprocarb, ethalfluralin, ethametsulfuron(-methyl), ethofumesate, ethoxyfen, etobenzanid, fenoxaprop-ethyl, flamprop(-isopropyl), flamprop(-isopropyl-L), flamprop(-methyl),
- 20 flazasulfuron, fluazifop(-butyl), flumetsulam, flumiclorac(-pentyl), flumioxazin, flumipropyn, fluometuron, fluorochloridone, fluoroglycofen(-ethyl), flupoxam, flupropacil, flurenol, fluridone, fluroxypyr, flurprimidol, flurtamone, fomesafen, glufosinate(-ammonium), glyphosate(-isopropylammonium), halosafen, haloxyfop(-ethoxyethyl), hexazinone, imazamethabenz(-methyl), imazamethapyr,
- 25 imazamox, imazapyr, imazaquin, imazethapyr, imazosulfuron, ioxynil, isopropalin, isoproturon, isoxaben, isoxaflutole, isoxapyrifop, lactofen, lenacil, linuron, MCPA, MCPP, mefenacet, metamitron, metazachlor, methabenzthiazuron, metobenzuron, metobromuron, metolachlor, metosulam, metoxuron, metribuzin, metsulfuron(-methyl), molinate, monolinuron, naproanilide, napropamide, neburon, nicosulfuron,
- 30 norflurazon, orbencarb, oryzalin, oxadiazon, oxyfluorfen, paraquat, pendimethalin, phenmedipham, piperophos, pretilachlor, primisulfuron(-methyl), prometryn, propachlor, propanil, propaquizafop, propyzamide, prosulfocarb, prosulfuron,

pyrazolate, pyrazosulfuron(-ethyl), pyrazoxyfen, pyributicarb, pyridate, pyriothiobac(-sodium) quinchlorac, quinmerac, quizalofop(-ethyl), quizalofop(-p-tefuryl), rimsulfuron, sethoxydim, simazine, simetryn, sulcotrione, sulfentrazone, 5 thenylchlor, thiafluamide, thiazopyr, thidiazimin, thifensulfuron(-methyl), thiobencarb, tiocarbazil, tralkoxydim, triallate, triasulfuron, tribenuron(-methyl), triclopyr, tridiphane, trifluralin and triflusulfuron.

Mixtures with other known active compounds, such as fungicides, insecticides, 10 acaricides, nematocides, bird repellents, plant nutrients and agents which improve soil structure, are also possible.

The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, 15 suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing or scattering.

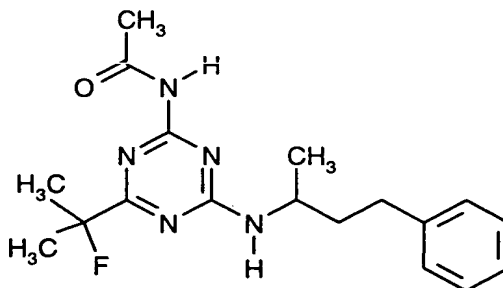
The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing. 20

The amount of active compound used can vary within a substantial range. It depends essentially on the nature of the desired effect. In general, the amounts used are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha. 25

The preparation and use of the active compounds according to the invention can be seen from the Examples below.

Preparation Examples:

Example 1



5

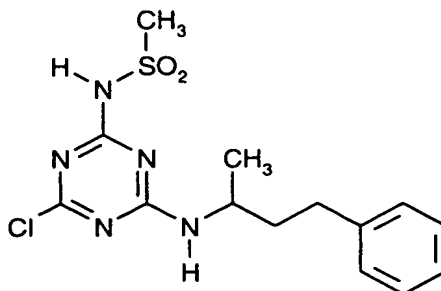
(Process (a))

10 A mixture of 1.86 g (6.14 mmol) of 2-amino-4-(1-methyl-3-phenyl-propylamino)-6-(1-fluoro-1-methyl-ethyl)-1,3,5-triazine (racemic), 0.33 g (6.14 mmol) of sodium methoxide and 6 ml methanol is heated to approximately 50°C for one hour and then concentrated under water pump vacuum. The residue is, after addition of 12 ml of ethyl acetate, heated at about 50°C for one hour and, after cooling, shaken with 20 ml of water. The organic phase is dried with sodium sulphate and filtered. The filtrate is concentrated under water pump vacuum and the residue is purified by column chromatography.

15

This gives 0.96 g of 2-acetylamino-4-(1-methyl-3-phenyl-propylamino)-6-(1-fluoro-1-methyl-ethyl)-1,3,5-triazine (racemate) as colourless crystals of melting point 91°C.

Example 2



(Process (c))

5 A mixture of 3.0 g (10.1 mmol) of 2,4-dichloro-6-(1-methyl-3-phenyl-propyl-amino)-1,3,5-triazine (racemic), 3.3 g (25 mmol) of potassium carbonate, 1.2 g (12.2 mmol) of methanesulphonamide and 15 ml N-methyl-pyrrolidone is stirred at 100°C for three hours. After cooling, the mixture is shaken with ethyl acetate/aqueous phosphoric acid, and the organic phase is separated off, dried with sodium sulphate and filtered. The filtrate is concentrated under water pump vacuum and the residue is purified by column chromatography (silica gel, ethyl acetate/hexane, ratio by volume 1:1).

15 This gives 2.2 g (61% of theory) of 2-chloro-4-methylsulfonylamino-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemate) as white crystals of melting point 143°C.

20 By the methods of Preparation Examples 1 and 2, and in accordance with the general description of the preparation processes according to the invention, it is also possible to prepare, for example, the compounds of the formula (I) listed in Table 1 below.

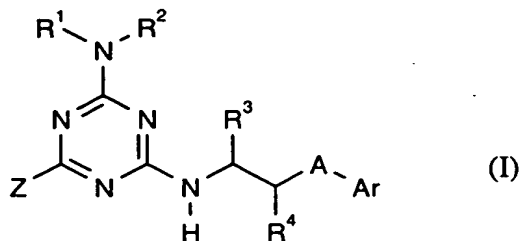


Table 1: Examples of compounds of the formula (I)

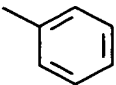
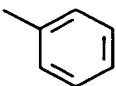
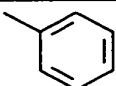
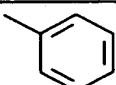
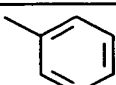
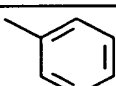
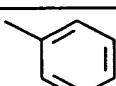
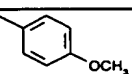
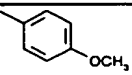
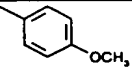
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3	H	SO ₂ CH ₃	CH ₃	H	CH ₂		OCH ₃	m.p.: 125°C (racemate)
4	H	SO ₂ CH ₃	CH ₃	H	CH ₂		OCH ₂ CF ₃	(amorphous) (racemate)
5	H	SO ₂ CH ₃	CH ₃	H	CH ₂		SCH ₃	(amorphous) (racemate)
6	H	COCH ₃	CH ₃	H	CH ₂		SCH ₃	m.p.: 131°C (racemate)
7	H	COCH ₃	CH ₃	H	O		CF ₃	m.p.: 145°C (racemate)
8	H	COCH ₃	CH ₃	H	O		CF ₃	m.p.: 112°C (R enantiomer)
9	H	COCH ₃	CH ₃	H	O		CF ₃	m.p.: 111°C (S enantiomer)
10	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	m.p.: 115°C (racemate)
11	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	m.p.: 113°C (R enantiomer)
12	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	(amorphous) (S enantiomer)

Table 1 (continued)

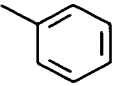
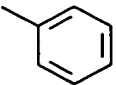
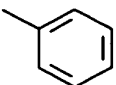
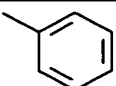
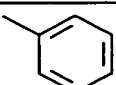
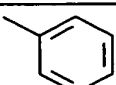
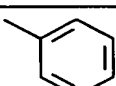
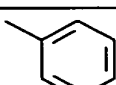
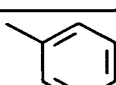
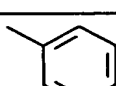
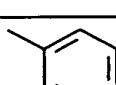
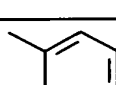
Ex. No.	R ¹	R ²	R ³	R ⁴	A	Ar	Z	Physical data and stereochemical specifications
13	H	COCH ₃	CH ₃	H	CH ₂		CHFCH ₃	(racemate)
14	H	COCH ₃	CH ₃	H	CH ₂		CHFCH ₃	(R enantiomer)
15	H	COCH ₃	CH ₃	H	CH ₂		CHFCH ₃	(S enantiomer)
16	H	COCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	(racemate)
17	H	COCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	(R enantiomer)
18	H	COCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	(S enantiomer)
19	H	COCH ₃	CH ₃	H	CH ₂		C ₂ F ₅	(racemate)
20	H	COCH ₃	CH ₃	H	CH ₂		CHFCHF ₃	(racemate)
21	H	COCH ₃	CH ₃	H	CH ₂		CHCl ₂	(racemate)
22	H	COCH ₃	CH ₃	H	CH ₂		CH ₂ Cl	(racemate)
23	H	COCH ₃	CH ₃	H	CH ₂		CHClCH ₃	(racemate)
24	H	COCH ₃	CH ₃	H	CH ₂		CCl ₂ CH ₃	(racemate)

Table 1 (continued)

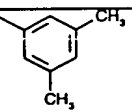
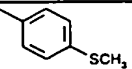
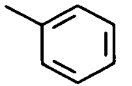
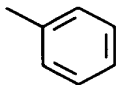
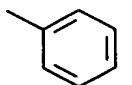
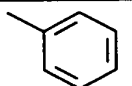
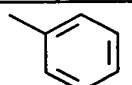
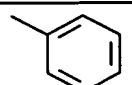
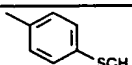
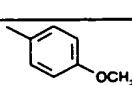
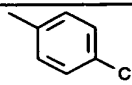
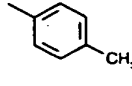
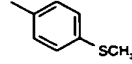
Ex. No.	R ¹	R ²	R ³	R ⁴	A	Ar	Z	Physical data and stereochemical specifications
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26	H	COCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	m.p.: 88°C (racemate)
27	H	COCH ₃	C ₂ H ₅	H	CH ₂		CF ₃	m.p.: 143°C (racemate)
28	H	COCH ₃	C ₂ H ₅	H	CH ₂		CF ₃	(amorphous) (R enantiomer)
29	H	COCH ₃	C ₂ H ₅	H	CH ₂		CF ₃	m.p.: 78°C (S enantiomer)
30	H	COCH ₃	C ₂ H ₅	H	O		CF ₃	m.p.: 136°C (racemate)
31	H	COCH ₃	C ₂ H ₅	H	O		CF ₃	m.p.: 99°C (R enantiomer)
32	H	COCH ₃	C ₂ H ₅	H	O		CF ₃	m.p.: 102°C (S enantiomer)
33	H	COCH ₃	C ₂ H ₅	H	CH ₂		CF(CH ₃) ₂	²⁰ n _D = 1.5735 (racemate)
34	H	COCH ₃	C ₂ H ₅	H	CH ₂		CF(CH ₃) ₂	(racemate)
35	H	COCH ₃	C ₂ H ₅	H	CH ₂		CF(CH ₃) ₂	(racemate)
36	H	COCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	(racemate)
37	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	m.p.: 116° (racemate)

Table 1 (continued)

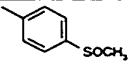
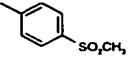
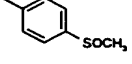
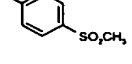
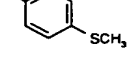
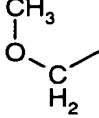
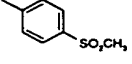
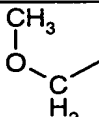
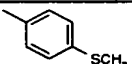
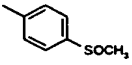
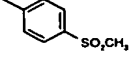
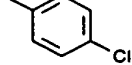
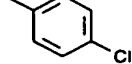
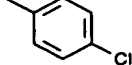
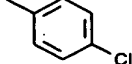
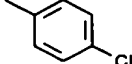
Ex. No.	R ¹	R ²	R ³	R ⁴	A	Ar	Z	Physical data and stereochemical specifications
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39	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	m.p.: 144°C (racemate)
40	H	COCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	(amorphous) (racemate)
41	H	COCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	m.p.: 147°C (racemate)
42	H	COCH ₃	CH ₃	H	CH ₂			m.p.: 109°C (racemate)
43	H	COCH ₃	CH ₃	H	CH ₂			m.p.: 135°C (racemate)
44	H	COCH ₃	CH ₃	H	CH ₂		CHFCH ₃	m.p.: 96°C (racemate)
45	H	COCH ₃	CH ₃	H	CH ₂		CHFCH ₃	(amorphous) (racemate)
46	H	COCH ₃	CH ₃	H	CH ₂		CHFCH ₃	m.p.: 139°C (racemate)
47	H	COCH ₃	C ₃ H ₇ -i	H	CH ₂		CF ₃	m.p.: 134°C (racemate)
48	H	COCH ₃	C ₃ H ₇ -i	H	CH ₂		CHFCH ₃	m.p.: 123°C (racemate)
49	H	COCH ₃	C ₃ H ₇ -i	H	CH ₂		CF(CH ₃) ₂	m.p.: 126°C (racemate)
50	H	COCH ₃	C ₃ H ₇ -n	H	CH ₂		CF(CH ₃) ₂	m.p.: 94°C (racemate)
51	H	COCH ₃	C ₃ H ₇ -n	H	CH ₂		CHFCH ₃	m.p.: 96°C (racemate)

Table 1 (continued)

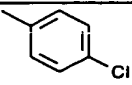
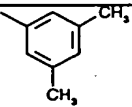
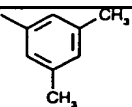
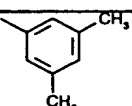
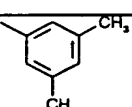
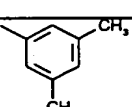
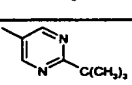
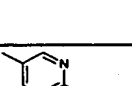
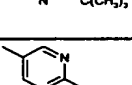
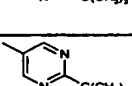
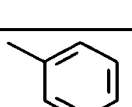
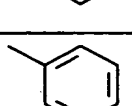
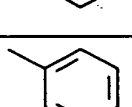
Ex. No.	R ¹	R ²	R ³	R ⁴	A	Ar	Z	Physical data and stereochemical specifications
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53	H	COCH ₃	CH ₃	H	O		C ₂ H ₅	m.p.: 143°C (racemate)
54	H	COCH ₃	CH ₃	H	O		CHCl ₂	m.p.: 153°C (racemate)
55	H	COCH ₃	CH ₃	H	O		CH ₂ Cl	m.p.: 130°C (racemate)
56	H	COCH ₃	CH ₃	H	O		CHFCH ₃	m.p.: 100°C (racemate)
57	H	COCH ₃	CH ₃	H	O		CHClCH ₃	m.p.: 135°C (racemate)
58	H	COCH ₃	C ₂ H ₅	H	O		CF ₃	²⁰ n _D = 1.5007 (racemate)
59	H	COCH ₃	C ₂ H ₅	H	O		CHFCH ₃	(amorphous) (racemate)
60	H	COCH ₃	C ₂ H ₅	H	O		CF(CH ₃) ₂	(amorphous) (racemate)
61	H	COCH ₃	C ₂ H ₅	H	O		C ₂ H ₅	(amorphous) (racemate)
62	H	COCH ₃	C ₂ H ₅	H	O		CHFCH ₃	m.p.: 126°C (racemate)
63	H	COCH ₃	C ₂ H ₅	H	O		C ₂ H ₅	m.p.: 98°C (racemate)
64	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	m.p.: 141°C (racemate)

Table 1 (continued)

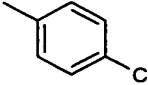
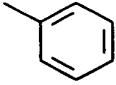
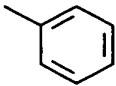
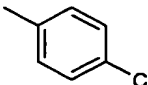
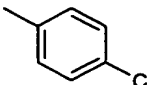
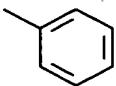
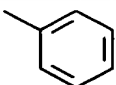
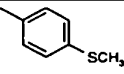
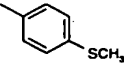
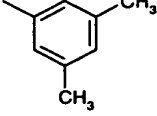
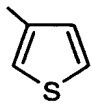
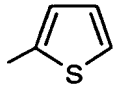
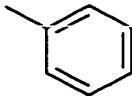
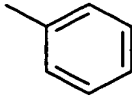
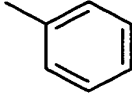
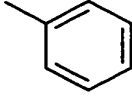
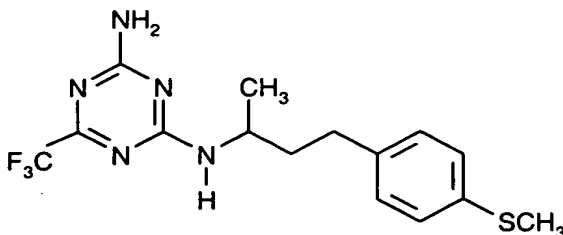
Ex. No.	R ¹	R ²	R ³	R ⁴	A	Ar	Z	Physical data and stereochemical specifications
65	H	COCH ₃	C ₂ H ₅	H	O		CF ₃	m.p.: 150°C (racemate)
66	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	m.p.: 139°C (R enantiomer)
67	H	COCH ₃	CH ₃	H	CH ₂		CF ₃	m.p.: 100°C (S enantiomer)
68	H	COCH ₃	C ₂ H ₅	H	O		CF ₃	m.p.: 99°C (R enantiomer)
69	H	COCH ₃	C ₂ H ₅	H	O		CF ₃	m.p.: 106°C (S enantiomer)
70	H	COC ₂ H ₅	CH ₃	H	CH ₂		CF(CH ₃) ₂	(amorphous) (racemate)
71	H	COOCH ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	(amorphous) (racemate)
72	H	COCH ₃	C ₂ H ₅	H	CH ₂		CF ₃	(amorphous) (racemate)
73	H	COCH ₃	C ₂ H ₅	H	CH ₂		CHFCH ₃	(amorphous) (racemate)
74	H	COCH ₃	CH ₃	H	O		CH=CH ₂	m.p.: 107°C (racemate)
75	H	COCH ₃	CH ₃	H	CH ₂		CH=CH OCH ₃	m.p.: 141°C (racemate)
76	H	COCH ₃	CH ₃	H	CH ₂		CH=CH OCH ₃	m.p.: 142°C (racemate)

Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	R ⁴	A	Ar	Z	Physical data and stereochemical specifications
77	H	COCH ₃	CH ₃	H	O		CH ₂ CH ₂ OCH ₃	(amorphous) (racemate)
78	H	COCH(CH ₃) ₂	CH ₃	H	CH ₂		CF(CH ₃) ₂	(amorphous) (racemate)
79	H	COC(CH ₃) ₃	CH ₃	H	CH ₂		CF(CH ₃) ₂	(amorphous) (racemate)
80	H	COC ₂ H ₅	CH ₃	H	CH ₂		CF(CH ₃) ₂	(amorphous) (racemate)

Starting materials of the formula (II):

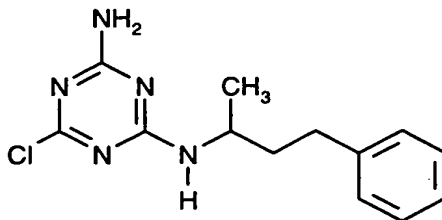
Example (II-1)



- 5 At 20°C to 30°C, a saturated solution of 6.0 g (0.11 mol) of sodium methoxide in methanol is added dropwise with stirring to a mixture of 31.5 g (0.10 mol) of (R/S)-1-(1-methyl-3-(4-methylthio-phenyl)-propyl)-biguanide (racemic), 15.5 g (0.10 mol) of ethyl trifluoroacetate and 150 ml of methanol, and the reaction mixture is then stirred at approximately 20°C for about 20 hours. The mixture is then diluted to
- 10 about three times its original volume using methylene chloride, washed with water and then with 1N aqueous sodium hydroxide solution, dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate under water pump vacuum.
- 15 This gives 12.1 g (34% of theory) of (R/S)-2-amino-4-(1-methyl-3-(4-methylthio-phenyl)-propylamino)-6-trifluoromethyl-1,3,5-triazine (racemate) as an amorphous residue.

Example (II-2)

20



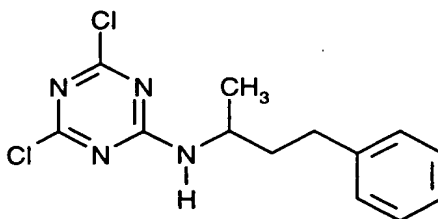
At 20°C to 30°C, 5.7 ml of a 25% strength aqueous solution of ammonia are added dropwise with stirring to a mixture of 5.4 g (18.2 mmol) of (R/S)-2,4-dichloro-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemic) and 35 ml of tetrahydrofuran,

and the reaction mixture is then stirred at approximately 20°C for about another 4 hours. The mixture is concentrated under water pump vacuum and the residue is then shaken with ethyl acetate/saturated aqueous sodium chloride solution, the organic phase is separated off and the aqueous phase is re-extracted with ethyl acetate; the organic phases are combined, dried with sodium sulphate and filtered. The filtrate is concentrated under water pump vacuum and the residue is crystallized by digestion with ethyl acetate/hexane. The crystalline product is then isolated by filtration with suction.

This 4.3 g (85% of theory) of (R/S)-2-amino-4-chloro-6-(1-methyl-3-phenyl-propyl-amino)-1,3,5-triazine (racemate) of melting point 115°C.

Starting materials of the formula (VI):

Example (VI-1)



A solution of 16.34 g (0.11 mol) of (R/S)-1-methyl-3-phenyl-propylamine and 14.2 g (0.11 mol) of ethyldiisopropylamine in 20 ml of tetrahydrofuran is added with stirring to a mixture of 20.2 g (0.11 mol) of cyanuric chloride (2,4,6-trichloro-1,3,5-triazine) and 80 ml of tetrahydrofuran which had been cooled to -40°C to -50°C. The reaction mixture is stirred at the abovementioned temperature for 30 minutes and then at room temperature (about 20°C) for another 30 minutes. The mixture is concentrated and the residue is then shaken with diethyl ether/saturated aqueous ammonium chloride solution, the organic phase is separated off and the aqueous phase is re-extracted; the combined organic phases are dried with sodium sulphate and filtered. The filtrate is concentrated under water pump vacuum, the residue is digested with petroleum ether/methyl t-butylether and the resulting crystalline product is isolated by filtration with suction.

This gives 27.5 g (84% of theory) of (R/S)-2,4-dichloro-6-(1-methyl-3-phenyl-propylamino)-1,3,5-triazine (racemate) of melting point 79°C.

Use Examples:

Example A

5 Pre-emergence-test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15 Seeds of the test plants are sown in normal soil. After about 24 hours, the soil is watered with the preparation of active compound. The amount of water per unit area is advantageously kept constant. The concentration of active compound in the preparation is immaterial, only the application rate of active compound per unit area matters.

20 After three weeks, the degree of damage to the plants is scored visually in % damage in comparison to the development of the untreated control.

The figures denote:

25 0 % = no effect (like untreated control)
 100 % = total destruction

In this test, the compounds of Preparation Examples 1, 8, 27, 28, 53, 54, 56 and 57, for example, show strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize and cotton (cf. Table A).

30

„ai” = „active ingredient”.

Table A: Pre-emergence-test/greenhouse

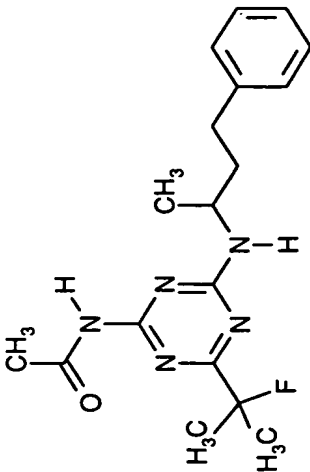
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Cotton	Alope- curus	Digi- taria	Sorghum	Ama- ranthus	Cheno- podium	Matri- caria
 <p>(1)</p>	125	0	0	100	95	100	100	100	100

Table A (continued)

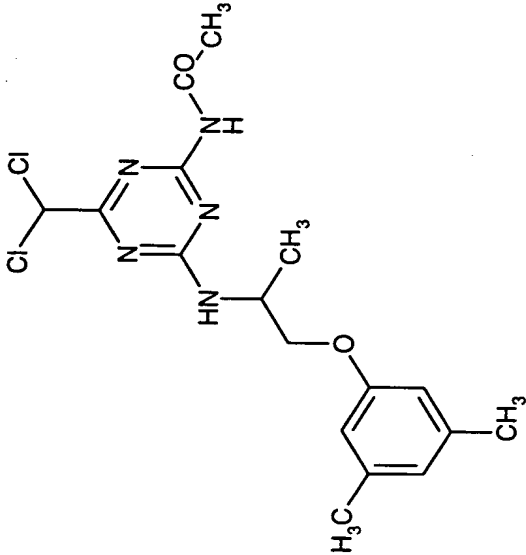
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Alope- curus	Setaria	Amaran- thus
 <p>(54)</p>	1000	20	90	100	80

Table A (continued)

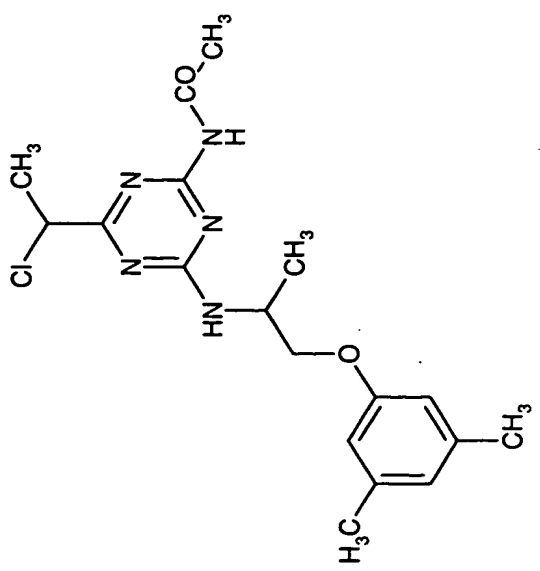
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alopecurus	Setaria	Abutilon	Amaranthus	Galium	Sinapis
		curus		ilon	thus		
 (57)	1000	95	90	90	100	100	100

Table A (continued)

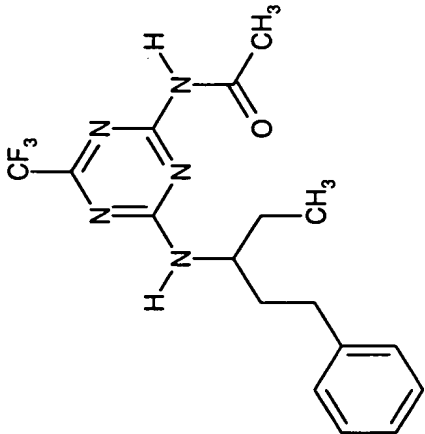
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alopecurus	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (28)	1000	80	100	100	100	100	100

Table A (continued)

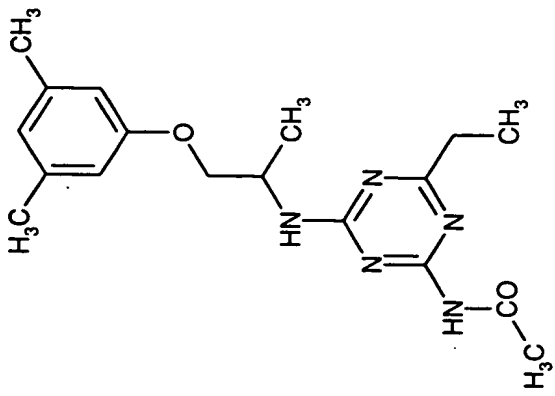
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alopecurus	Setaria	Amaranthus	Galium	Sinapis
		curus		thus		
 <p>(53)</p>	1000	80	100	80	90	100

Table A (continued)

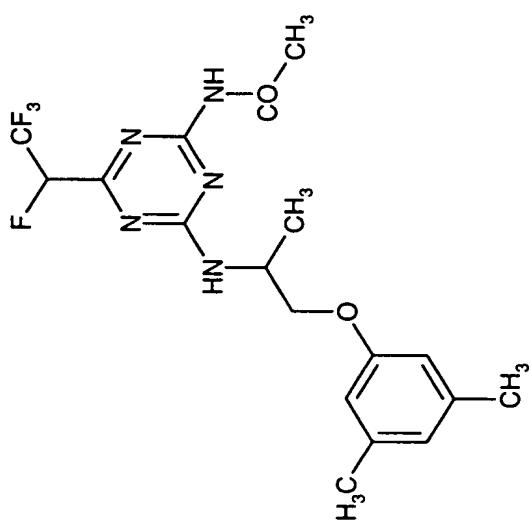
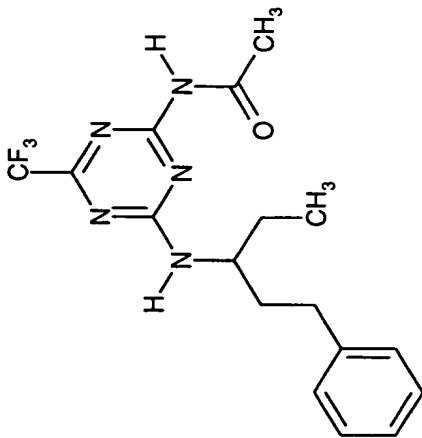
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alopecurus	Setaria	Amaranthus	Galium	Sinapis
				thus		
 <p>(56)</p>	1000	100	90	100	100	100

Table A (continued)

Active compound of Preparation Ex. No.	Application rate		Abutilon	Amaran- thus	Xanthium
	(g of ai./ha)	Alope- curus			
<div data-bbox="688 1276 1110 1709" data-label="Chemical-Block"> </div>	1000	70	80	100	80

(8)

Table A (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaran- thus	Galium
 <p>(27)</p>	1000	100	70	100	100

Example B

Post-emergence-test

5

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15

Test plants which have a height of 5-15 cm are sprayed with the preparation of active compound such that the particular amounts of active compounds desired are applied per unit area. The concentration of the spray liquor is chosen so that the particular amounts of active compound desired are applied in 1000 l of water/ha.

20

After three weeks, the degree of damage to the plants is scored visually in % damage in comparison to the untreated control.

The figures denote:

0 % = no effect (like untreated control)

100 % = total destruction

25

In this test, the compounds of Preparation Examples 1, 9, 28, 29, 32, 56, 67 and 70, for example, show strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize and wheat (cf. Table B).

Table B: Post-emergence test/greenhouse

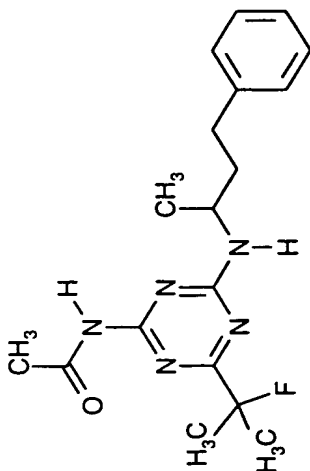
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Ama- ranthus	Cheno- podium	Datura	Solanum
 (1)	125	10	95	95	100	95

Table B (continued)

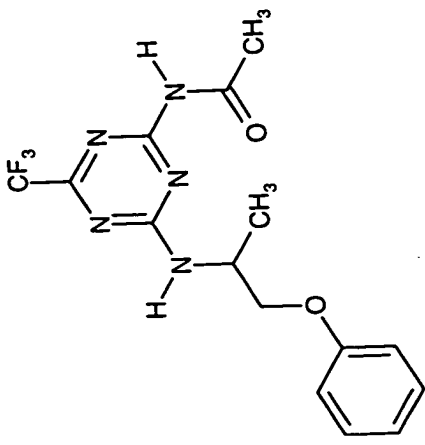
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Avena fatua	Setaria	Abutilon	Amaran- thus	Xanthium
 <p>(9)</p>	1000	10	100	100	100	100	100

Table B (continued)

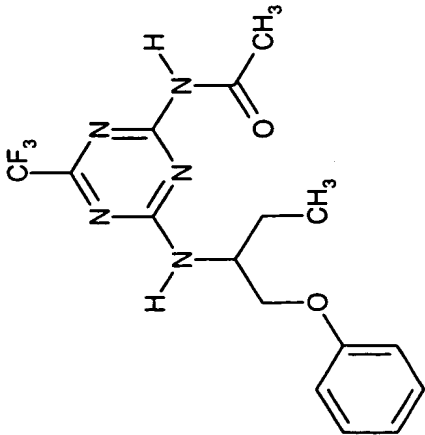
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Avena fatua	Setaria	Abutilon	Amaran- thus	Xanthium
 (32)	1000	20	70	100	100	100	80

Table B (continued)

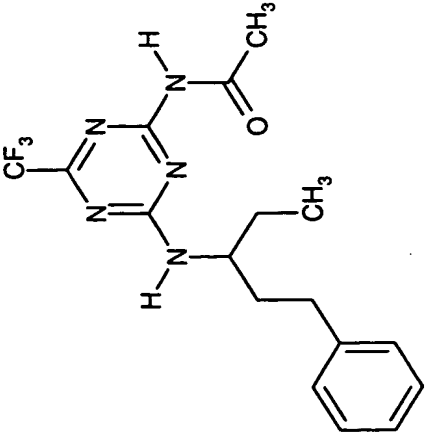
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Setaria	Abut- ilon	Amaran- thus	Galium	Xanthium
 <p>(29)</p>	1000	90	100	100	100	100

Table B (continued)

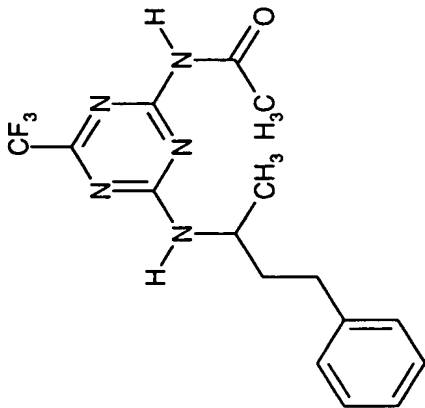
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Setaria	Abut- ilon	Amaran- thus	Galium	Xanthium
 <p>(67)</p>	1000	100	100	100	100	100

Table B (continued)

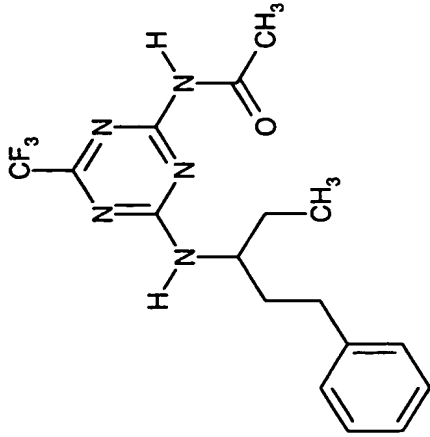
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Setaria	Abut- ilon	Amaran- thus	Galium	Xanthium
 <p>(28)</p>	1000	90	100	100	100	-

Table B (continued)

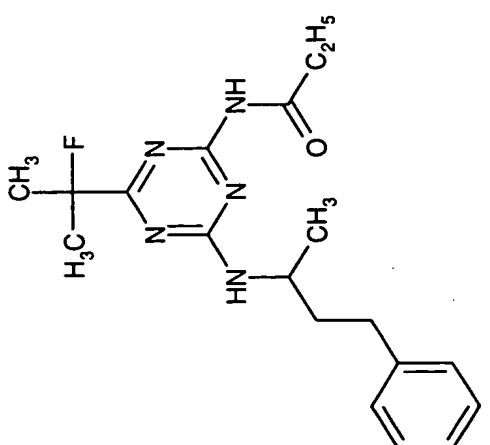
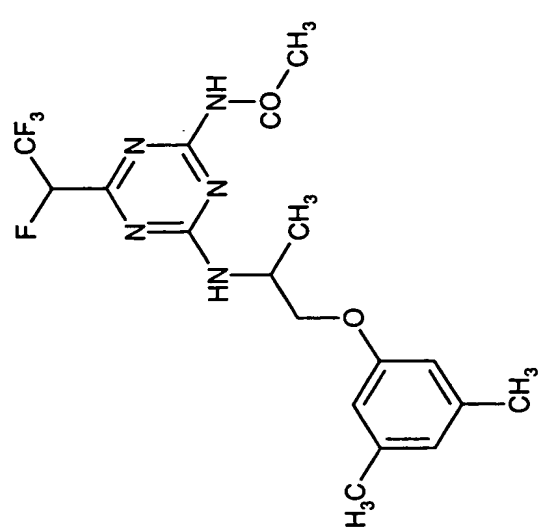
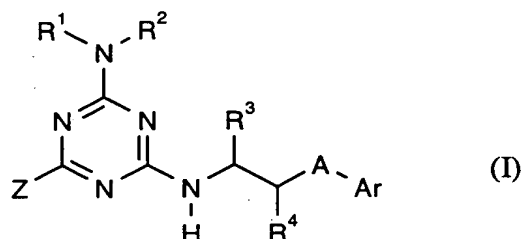
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Setaria	Abut- ilon	Amaran- thus	Galium	Xanthium
 <p>(70)</p>	1000	100	100	100	100	100

Table B (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alope- curus	Avena fatua	Amaran- thus	Sinapis
 (56)	1000	70	90	70	100

Patent Claims

1. Substituted 2,4-diamino-1,3,5-triazines of the general formula (I),



5

in which

R¹ represents hydrogen or optionally substituted alkyl,

10

R² represents formyl or represents in each case optionally substituted alkylcarbonyl, alkoxycarbonyl, alkylsulphonyl, arylcarbonyl or arylsulphonyl,

15

R³ represents in each case optionally substituted alkyl or cycloalkyl,

R⁴ represents hydrogen or alkyl,

A represents oxygen or methylene,

20

Ar represents in each case optionally substituted aryl or heterocyclyl, and

5 Z represents hydrogen, hydroxyl, cyano, nitrogen, halogen or represents in each case optionally substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl, alkenyl or alkynyl,

but excluding the compounds

10 2-formylamino-4-[1-methyl-3-(3-ethoxy-phenyl)-propylamino]-6-(1-fluoro-1-methyl-ethyl)-1,3,5-triazine, 2-formylamino-4-[1-methyl-3-(2-cyano-phenyl)-propylamino]-6-(1,1,2,2-tetrafluoro-ethyl)-1,3,5-triazine and 2-formylamino-4-[1-methyl-3-(4-iodo-phenyl)-propylamino]-6-(2-chloro-ethyl)-1,3,5-triazine.

15

2. Compounds of the formula (I) according to Claim 1, characterized in that

R¹ represents hydrogen or represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms,

20

25 R² represents formyl, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkylcarbonyl, alkoxycarbonyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl-, halogeno-C₁-C₄-alkyl-, C₁-C₄-alkoxy-, halogeno-C₁-C₄-alkoxy- or C₁-C₄-alkoxy-carbonyl-substituted phenylcarbonyl, naphthyl-carbonyl, phenylsulphonyl or naphthylsulphonyl,

5 R^3 represents optionally hydroxyl-, cyano-, halogen- or C_1 - C_4 -alkoxy-substituted alkyl having 1 to 6 carbon atoms or represents optionally cyano-, halogen- or C_1 - C_4 -alkyl-substituted cycloalkyl having 3 to 6 carbon atoms,

R^4 represents hydrogen or alkyl having 1 to 4 carbon atoms,

10 A represents oxygen or methylene,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

15 where the possible heterocyclyl radicals are selected from the group below:

20 furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzo-thienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

 and where the possible substituents are in each case selected from the group below:

5 hydroxyl, cyano, nitro, halogen, in each case optionally hydroxy-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy- or C₁-C₄-halogenoalkoxy-substituted phenyl or phenoxy, and also in each case optionally halogen-substituted methylenedioxy or ethylenedioxy,

10

and

15 Z represents hydrogen, represents halogen, represents in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C₁-C₄-alkoxy-, C₁-C₄-alkylcarbonyl-, C₁-C₄-alkoxycarbonyl-, C₁-C₄-alkylthio-, C₁-C₄-alkylsulphinyl- or C₁-C₄-alkylsulphonyl-substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents in each case optionally halogen- or C₁-C₄-alkoxy-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms.

20

3. Compounds of the formula (I) according to Claim 1, characterized in that

25 R¹ represents hydrogen or represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl,

- 5 R^2 represents in each case optionally cyano-, fluorine-, chlorine-,
 bromine-, methoxy- or ethoxy-substituted acetyl, propionyl, n- or
 i-butyroyl, methoxycarbonyl, ethoxycarbonyl, methylsulphonyl,
 ethylsulphonyl, n- or i-propylsulphonyl, n-, i-, s- or t-butylsulphonyl,
 or represents in each case optionally cyano-, fluorine-, chlorine-,
 bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-,
 trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-
10 butoxy-, difluoromethoxy-, trifluoromethoxy-, methoxycarbonyl- or
 ethoxy-carbonyl-substituted phenylcarbonyl or phenylsulphonyl,
- 15 R^3 represents in each case optionally hydroxyl-, cyano-, fluorine-,
 chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl,
 n-, i-, s- or t-butyl or represents in each case optionally cyano-,
 fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl,
 cyclobutyl, cyclopentyl or cyclohexyl,
- 20 R^4 represents hydrogen or methyl,
- A represents oxygen or methylene,
- Ar represents in each case optionally substituted phenyl, naphthyl or
 heterocyclyl,
- 25 where the possible heterocyclyl radicals are selected from the group
 below:

furyl, benzofuryl, dihydrobenzofuryl, tetrahydrofuryl, thienyl, benzo-thienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, pyrrolyl, indolyl, pyridinyl, quinolinyl, isoquinolinyl and pyrimidinyl,

5

and where the possible substituents are in each case selected from the group below:

10

hydroxy, cyano, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl- cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, in each case optionally fluorine- or chlorine-substituted acetyl, propionyl, n- or i-butyroyl, methoxy-carbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and also in each case optionally fluorine- or chlorine-substituted methylenedioxy or ethylenedioxy,

15

20

and

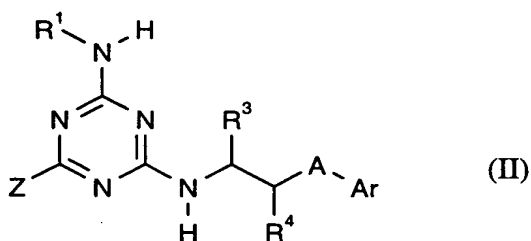
25

Z represents hydrogen, fluorine, chlorine, bromine, represents in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-,

methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, methylthio-
ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or
i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or
i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or
t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy,
methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethyl-
sulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n-
or i-propylsulphonyl, or represents in each case optionally fluorine-,
chlorine-, bromine-, methoxy- or ethoxy-substituted ethenyl, propenyl,
butenyl, ethinyl, propinyl or butinyl.

4. Process for preparing compounds of the formula (I) according to Claim 1,
characterized in that

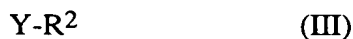
(a) 2,4-diamino-1,3,5-triazines of the general formula (II)



in which

R¹, R³, R⁴, A, Ar and Z are each as defined in Claim 1,

are reacted with acylating or sulphonylating agents of the general formula (III)



in which

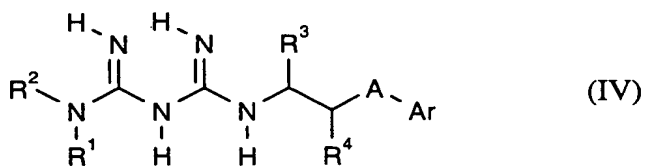
R^2 is as defined in Claim 1 and

5 Y represents halogen, alkoxy or $-O-R^2$,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

10 or that

(b) to prepare compounds of the formula (I), except for those where $Z=NO_2$, substituted guanidines of the general formula (IV)



in which

R^1 , R^2 , R^3 , R^4 , A and Ar are each as defined above

20 - and/or acid adducts of compounds of the general formula (IV) - are reacted with alkoxycarbonyl compounds of the general formula (V)



in which

Z , with the exception of nitro, is as defined above and

R' represents alkyl,

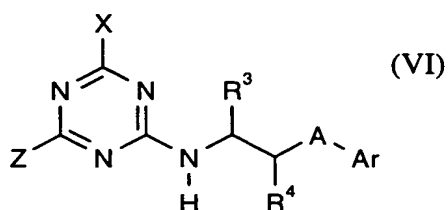
5

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or that

10

(c) substituted triazines of the general formula (VI)



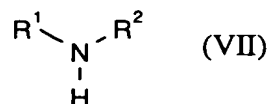
in which

15

R³, R⁴, A, Ar and Z are each as defined above and

X represents halogen or alkoxy

are reacted with nitrogen compounds of the general formula (VII)



5 in which

R^1 and R^2 are each as defined above,

10 if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

15 and, if appropriate, further conversions within the scope of the above definition of substituents are carried out by customary methods on the compounds of the general formula (I) obtained by the processes described under (a), (b) or (c).

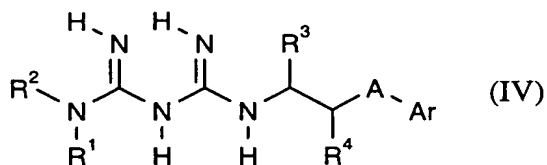
5. Herbicidal compositions, characterized in that they comprise at least one compound of the formula (I) according to Claim 1.
- 20 6. The use of compounds of the formula (I) according to Claim 1 for controlling undesirable vegetation.

7. Method for controlling weeds, characterized in that compounds of the formula (I) according to Claim 1 are allowed to act on weeds or their habitat.

5 8. Process for preparing herbicidal compositions, characterized in that compounds of the formula (I) according to Claim 1 are mixed with extenders and/or surfactants.

9. Substituted biguanides of the general formula (IV)

10



in which

15 R^1 , R^2 , R^3 , R^4 , A and Ar are each as defined in Claim 1,

and acid adducts of compounds of the general formula (IV).

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 97/05319

A. CLASSIFICATION OF SUBJECT MATTER IPC 6 C07D251/18 A01N43/68 C07D251/50 C07D251/52 C07D409/12 C07D403/12		
According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 6 C07D A01N		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
Electronic data base consulted during the international search (name of data base and, where practical, search terms used)		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 273 328 A (IDEMITSU KOSAN COMPANY LTD) 6 July 1988 cited in the application see claims ---	1,5
A	EP 0 411 153 A (IDEMITSU KOSAN COMPANY LTD) 6 February 1991 cited in the application see claims ---	1,5
A	EP 0 300 313 A (BAYER AG) 25 January 1989 see examples 12-14, 59, 60 ---	1,5
P, X	WO 97 08156 A (HOECHST SCHERING AGREVO GMBH) 6 March 1997 cited in the application * Table 1, No. 70-72 * --- <div style="text-align: right;">-/--</div>	1,5
<div style="display: flex; justify-content: space-between;"> <input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex. </div>		
<div style="display: flex;"> <div style="flex: 1;"> <p>* Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="flex: 1;"> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"&" document member of the same patent family</p> </div> </div>		
Date of the actual completion of the international search <div style="text-align: center;">11 February 1998</div>		Date of mailing of the international search report <div style="text-align: center;">25/02/1998</div>
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016		Authorized officer <div style="text-align: center;">Van Bijlen, H</div>

INTERNATIONAL SEARCH REPORT

Int lional Application No
PCT/EP 97/05319

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,A	<p>WO 97 00254 A (HOECHST SCHERING AGREVO GMBH) 3 January 1997 cited in the application * examples 38,54,180,181,235,236 *</p> <p>-----</p>	1,5

INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. Patent Application No

PCT/EP 97/05319

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 273328 A	06-07-88	DE 3789294 D	14-04-94
		DE 3789294 T	16-06-94
		JP 1853764 C	07-07-94
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		AU 6741896 A	19-03-97
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		AU 6301296 A	15-01-97

INTERNATIONALER RECHERCHENBERICHT

Int. Jonaies Aktenzeichen

PCT/EP 97/05319

A. KLASSIFIZIERUNG DES ANMELDUNGSGEGENSTANDES

IPK 6 C07D251/18 A01N43/68 C07D251/50 C07D251/52 C07D409/12
C07D403/12

Nach der Internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK

B. RECHERCHIERTE GEBIETE

Recherchierter Mindestprüfstoff (Klassifikationssystem und Klassifikationssymbole)

IPK 6 C07D A01N

Recherchierte aber nicht zum Mindestprüfstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen

Während der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank und evtl. verwendete Suchbegriffe)

C. ALS WESENTLICH ANGESEHENE UNTERLAGEN

Kategorie*	Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile	Betr. Anspruch Nr.
A	EP 0 273 328 A (IDEMITSU KOSAN COMPANY LTD) 6.Juli 1988 in der Anmeldung erwähnt siehe Ansprüche ---	1,5
A	EP 0 411 153 A (IDEMITSU KOSAN COMPANY LTD) 6.Februar 1991 in der Anmeldung erwähnt siehe Ansprüche ---	1,5
A	EP 0 300 313 A (BAYER AG) 25.Januar 1989 siehe Beispiele 12-14,59,60 ---	1,5
P,X	WO 97 08156 A (HOECHST SCHERING AGREVO GMBH) 6.März 1997 in der Anmeldung erwähnt * Tabelle 1, Nr. 70-72 * ---	1,5
-/-		

☒ Weitere Veröffentlichungen sind der Fortsetzung von Feld C zu entnehmen

☒ Siehe Anhang Patentfamilie

* Besondere Kategorien von angegebenen Veröffentlichungen :

"A" Veröffentlichung, die den allgemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist

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"L" Veröffentlichung, die geeignet ist, einen Prioritätsanspruch zweifelhaft erscheinen zu lassen, oder durch die das Veröffentlichungsdatum einer anderen im Recherchenbericht genannten Veröffentlichung belegt werden soll oder die aus einem anderen besonderen Grund angegeben ist (wie ausgeführt)

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C.(Fortsetzung) ALS WESENTLICH ANGESEHENE UNTERLAGEN

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